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DICTIONARY FILE UPDATES: 13 MAR 2007 HIGHEST RN 926304-31-6

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=> file caplus

FILE 'CAPLUS' ENTERED AT 15:55:19 ON 14 MAR 2007
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FILE COVERS 1907 - 14 Mar 2007 VOL 146 ISS 12
FILE LAST UPDATED: 13 Mar 2007 (20070313/ED)

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'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> d stat que L25

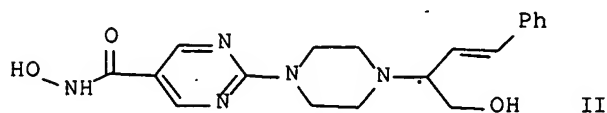
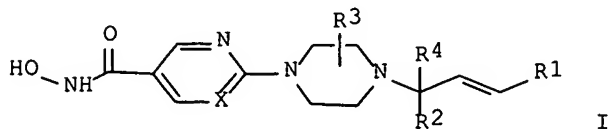
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L16	7835	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	?FARNESYL?/BI
L18	15726	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	?QUINAZOLIN?/BI
L19	11	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	L14 AND L18
L20	69395	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	?TRIAZOL?/BI
L21	12	SEA	FILE=CAPLUS	ABB=ON	PLU=ON	L14 AND L20

L23 17 SEA FILE=CAPLUS ABB=ON PLU=ON L19 OR L21
 L24 15 SEA FILE=CAPLUS ABB=ON PLU=ON L23 AND L16
 L25 17 SEA FILE=CAPLUS ABB=ON PLU=ON (L23 OR L24)

=> d ibib abs hitind L25 1-17

L25 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:101446 CAPLUS Full-text
 DOCUMENT NUMBER: 144:192266
 TITLE: Preparation of substituted propenyl piperazine derivatives as novel inhibitors of histone deacetylase
 INVENTOR(S): Van Brandt, Sven Franciscus Anna; Van Emelen, Kristof; **Angibaud, Patrick Rene**; Marconnet-Decrane, Laurence Francoise Bernadette; Arts, Janine
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 67 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006010749	A2	20060202	WO 2005-EP53611	20050725
WO 2006010749	A3	20060608		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2572971	A1	20060202	CA 2005-2572971	20050725
PRIORITY APPLN. INFO.:			EP 2004-77171	A 20040728
			US 2004-592357P	P 20040729
			WO 2005-EP53611	W 20050725
OTHER SOURCE(S):		MARPAT 144:192266		
GI				



AB Substituted propenyl piperazine derivs. I, wherein X is independently N or CH; R1 is Ph, naphthalenyl or heterocyclyl; wherein each of said Ph or naphthalenyl is optionally substituted with one or two substituents each independently selected from halo, alkyl, alkyloxy, poly-halo-alkyl, aryl, hydroxy, cyano, amino, alkylcarbonylamino, alkylsulfonylamino, hydroxycarbonyl, alkyloxycarbonyl, hydroxyalkyl, alkyloxymethyl, aminomethyl, alkylaminomethyl, alkylcarbonylaminomethyl, alkylsulfonylaminomethyl, aminosulfonyl, alkylaminosulfonyl or heterocyclyl; R2 is hydrogen, -CH2R5, trifluoromethyl, -C(O)-R6, or -CH-NR7R8; wherein each R5 is independently hydrogen, hydroxy, alkyloxy, alkyloxyalkyloxy, alkylcarbonyloxy, piperazinyl, N-methylpiperazinyl, morpholinyl, thiomorpholinyl, imidazolyl or **triazolyl**; each R6 is independently hydroxy, alkyloxy, amino or mono- or di(alkyl)amino, cycloalkylamino, hydroxyalkylamino, piperazinyl, N-methylpiperazinyl, morpholinyl or thiomorpholinyl; each R7 and R8 are independently hydrogen, alkyl, alkylcarbonyl, alkylsulfonyl, or mono- or di(alkyl)aminosulfonyl; R3 is hydrogen, hydroxymethyl, aminomethyl or mono- or di(alkyl)aminomethyl; R4 is hydrogen or alkyl; were prepared and having histone deacetylase inhibiting enzymic activity and to inhibit proliferative conditions, such as cancer and psoriasis. Thus, propenyl piperazine derivative II was prepared and tested in vitro and in nude mice as inhibitor of histone deacetylase and was better than R306465 after oral administration. P21 enzyme linked immunosorbent assay has been applied to determine the p21 protein expression level in human A2780 ovarian carcinoma cells. In vitro assay for inhibition of histone deacetylase is reported. P21 induction was measured as the consequence of DNA damage or as the consequence of histone deacetylase inhibition. Antiproliferative activity of title compds. was determined on A2780 cells (neg. log value of the IC50, pIC50 = 7.9-8.2).

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 7, 63

L25 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:928872 CAPLUS Full-text

DOCUMENT NUMBER: 140:146059

TITLE: Substituted azoloquinolines and **-quinazolines**
as new potent **farnesyl** protein transferase
inhibitors

AUTHOR(S): **Angibaud, Patrick**; Bourdrez, Xavier; End,
David W.; Freyne, Eddy; Janicot, Michel; Lezouret,
Patricia; Ligny, Yannick; Mannens, Geert; Damsch,
Siegrid; Mevellec, Laurence; Meyer, Christophe;
Muller, Philippe; Pilatte, Isabelle; Poncelet,
Virginie; Roux, Bruno; Smets, Gerda; Van Dun, Jacky;
Van Remoortere, Pieter; Venet, Marc; Wouters, Walter
CORPORATE SOURCE: Medicinal Chemistry Department Johnson & Johnson
Pharmaceutical Research & Development (J&JPRD), Campus
de Maigremont BP615, Val de Reuil, 27106, Fr.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003),
13(24), 4365-4369

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:146059

AB A series of (4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)azoloquinolines and **-quinazolines** was prepared. These compds. displayed potent **farnesyl** protein transferase inhibitory activity and tetrazolo[1,5-a]**quinazolines** are promising agents for oral in vivo inhibition.

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

- ST **farnesyl** protein transferase inhibitor azoloquinoline
azoloquinazoline prepn
- IT 131384-38-8, **Farnesyl** protein transferase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of azoloquinolines and -**quinazolines** as
farnesyl protein transferase inhibitors)
- IT 192185-72-1, Zarnestra
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of azoloquinolines and -**quinazolines** as
farnesyl protein transferase inhibitors)
- IT 280144-91-4P
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical
process); PYP (Physical process); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation); PROC (Process)
(preparation of azoloquinolines and -**quinazolines** as
farnesyl protein transferase inhibitors)
- IT 280143-75-1P 280143-77-3P 382146-82-9P 382146-83-0P
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN
(Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of azoloquinolines and -**quinazolines** as
farnesyl protein transferase inhibitors)
- IT 280143-59-1P 280143-86-4P 280143-98-8P 280144-16-3P 280144-90-3P
280144-90-3P 280144-99-2P 652131-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL
(Biological study); PREP (Preparation)
(preparation of azoloquinolines and -**quinazolines** as
farnesyl protein transferase inhibitors)
- IT 67-64-1, Acetone, reactions 78-39-7, 1,1,1-Triethoxyethane 616-47-7,
1-Methylimidazole 624-84-0, Hydrazinecarboxaldehyde 1663-61-2,
Triethoxymethylbenzene 22483-09-6, Aminoacetaldehyde dimethylacetal
192187-40-9 215034-82-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of azoloquinolines and -**quinazolines** as
farnesyl protein transferase inhibitors)
- IT 190898-78-3P 192187-32-9P 280143-17-1P 280143-18-2P 280143-19-3P
280143-20-6P 280143-21-7P 280143-22-8P 280143-27-3P 280143-38-6P
280143-48-8P 280143-53-5P 280143-54-6P 280143-79-5P 280143-80-8P
280144-85-6P 280144-89-0P 280144-98-1P 405548-67-6P 652131-05-0P
652131-06-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of azoloquinolines and -**quinazolines** as
farnesyl protein transferase inhibitors)

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:928871 CAPLUS Full-text

DOCUMENT NUMBER: 140:156729

TITLE: 4-Methyl-1,2,4-triazol-3-yl heterocycle as
an alternative to the 1-methylimidazol-5-yl moiety in
the **Farnesyltransferase** inhibitor Zarnestra

AUTHOR(S): **Angibaud, Patrick**; Saha, Ashis K.; Bourdrez,
Xavier; End, David W.; Freyne, Eddy; Lezouret,
Patricia; Mannens, Geert; Mevellec, Laurence; Meyer,
Christophe; Pilatte, Isabelle; Poncelet, Virginie;
Roux, Bruno; Smets, Gerda; Van Dun, Jacky; Venet,
Marc; Wouters, Walter

CORPORATE SOURCE: Medicinal Chemistry Department Johnson & Johnson

Pharmaceutical Research & Development (J&JPRD), Val de Reuil, 27106, Fr.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(24), 4361-4364
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:156729

AB Replacement of the 1-methylimidazol-5-yl moiety in the *farnesyltransferase* inhibitor Zarnestra series by a 4-methyl-1,2,4-triazol-3-yl group gave us compds. with similar structure-activity relationship profiles showing that this *triazole* is potentially a good surrogate to imidazole for *farnesyltransferase* inhibition.

CC 1-3 (Pharmacology)
Section cross-reference(s): 28

ST *farnesyltransferase* inhibitor Zarnestra quinolinone imidazole deriv prepn structure activity

IT Structure-activity relationship
(preparation and structure-activity relationship of quinolinone derivs. as *farnesyltransferase* inhibitors)

IT 131384-38-8, Protein *farnesyltransferase*
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation and structure-activity relationship of quinolinone derivs. as *farnesyltransferase* inhibitors)

IT 406162-47-8P 406162-54-7P 406162-63-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and structure-activity relationship of quinolinone derivs. as *farnesyltransferase* inhibitors)

IT 406162-85-4P 406162-87-6P 654074-98-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship of quinolinone derivs. as *farnesyltransferase* inhibitors)

IT 192185-51-6 192185-54-9 192185-64-1 192185-72-1, Zarnestra
192185-89-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and structure-activity relationship of quinolinone derivs. as *farnesyltransferase* inhibitors)

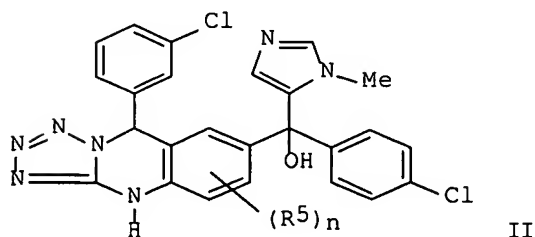
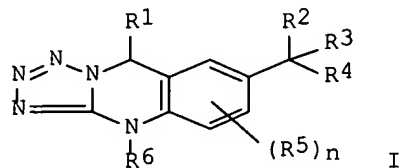
IT 74-88-4, reactions 6610-29-3 24854-43-1 26628-22-8, Sodium azide
36635-61-7 192187-33-0 280144-85-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and structure-activity relationship of quinolinone derivs. as *farnesyltransferase* inhibitors)

IT 406161-89-5P 406161-90-8P 406161-91-9P 406161-92-0P 406162-21-8P
406162-22-9P 406162-23-0P 654074-93-8P 654074-95-0P 654074-96-1P
654074-97-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-activity relationship of quinolinone derivs. as *farnesyltransferase* inhibitors)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

DOCUMENT NUMBER: 139:337983
 TITLE: Preparation of *farnesyl* transferase
 inhibiting *tetrazoloquinazolines* substituted
 with carbon-linked imidazoles or *triazoles*
 INVENTOR(S): *Angibaud, Patrick Rene*; Venet, Marc Gaston;
 Argoullon, Jean Michel Jacques Raymond
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087101	A1	20031023	WO 2003-EP3986	20030414
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
CA 2481480	A1	20031023	CA 2003-2481480	20030414
AU 2003229688	A1	20031027	AU 2003-229688	20030414
EP 1497295	A1	20050119	EP 2003-722496	20030414
EP 1497295	B1	20060816		
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK	
US 2005148609	A1	20050707	US 2003-509365	20030414
JP 2005526109	T	20050902	JP 2003-584057	20030414
AT 336496	T	20060915	AT 2003-722496	20030414
PRIORITY APPLN. INFO.:			EP 2002-76448	A 20020415
			WO 2003-EP3986	W 20030414
OTHER SOURCE(S):		MARPAT 139:337983		
GI				



AB Title compds. I [R1, R2 = (un)substituted Ph; R3 = H, halogen, CN, (un)substituted alkyl, alkenyl, alkynyl, CO2H, aryl, heterocyclic, OH, SH, NH2, N:CH2; R4 = (un)substituted imidazol-5-yl, 4H-1,2,4-triazol-3-yl; R5 = CN, OH, halogen, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, CO2H, NH2, CONH2; R6 = H, (un)substituted alkyl; n = 0-2] were prepared for use as **farnesyl** transferase inhibitors in the treatment of proliferative diseases. Thus, the title compound I was prepared by rearrangement of 5-(3-chlorophenyl)- α -(4-chlorophenyl)-4,5-dihydro- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a] **quinazolinemethanol** and gave 81% inhibition of **farnesyl** transferase at 1×10^{-7} M.

IC ICM C07D487-04
ICS A61K031-519; A61P035-00; C07D257-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

ST **tetrazoloquinazoline** prepn **farnesyl** transferase inhibitor

IT Antitumor agents
Human
Neoplasm
(preparation of **farnesyl** transferase inhibiting **tetrazoloquinazolines** substituted with carbon-linked imidazoles or **triazoles**)

IT Disease, animal
(proliferative; preparation of **farnesyl** transferase inhibiting **tetrazoloquinazolines** substituted with carbon-linked imidazoles or **triazoles**)

IT 131384-38-8, **Farnesyl** protein transferase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of **farnesyl** transferase inhibiting **tetrazoloquinazolines** substituted with carbon-linked imidazoles or **triazoles**)

IT 615277-93-5P 615277-99-1P 615278-09-6P 615278-34-7P 615278-39-2P
615278-42-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of **farnesyl** transferase inhibiting **tetrazoloquinazolines** substituted with carbon-linked imidazoles or **triazoles**)

IT 615277-96-8P 615278-01-8P 615278-03-0P 615278-06-3P 615278-12-1P
615278-15-4P 615278-18-7P 615278-21-2P 615278-24-5P 615278-27-8P
615278-30-3P 615278-36-9P 615278-40-5P 615278-41-6P 615278-43-8P
615278-44-9P 615278-45-0P 615278-47-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of **farnesyl** transferase inhibiting **tetrazoloquinazolines** substituted with carbon-linked imidazoles or **triazoles**)

IT 76-02-8, Trichloroacetyl chloride 104-87-0, 4-Methylbenzaldehyde
459-57-4, 4-Fluorobenzaldehyde 616-47-7, 1-Methyl-1H-imidazole
52898-49-4, N,4-Dimethoxy-N-methylbenzamide 215034-81-4 280143-55-7
288391-73-1 382146-82-9 382146-83-0 406162-04-7 406163-06-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **farnesyl** transferase inhibiting **tetrazoloquinazolines** substituted with carbon-linked imidazoles or **triazoles**)

IT 615277-06-0P 615277-08-2P 615277-10-6P 615277-12-8P 615277-14-0P

615277-16-2P	615277-18-4P	615277-20-8P	615277-22-0P	615277-24-2P
615277-26-4P	615277-28-6P	615277-30-0P	615277-32-2P	615277-34-4P
615277-36-6P	615277-38-8P	615277-40-2P	615277-42-4P	615277-44-6P
615277-46-8P	615277-48-0P	615277-50-4P	615277-52-6P	615277-54-8P
615277-55-9P	615277-57-1P	615277-59-3P	615277-62-8P	615277-63-9P
615277-65-1P	615277-68-4P	615277-74-2P	615277-77-5P	615277-78-6P
615277-80-0P	615277-82-2P	615277-84-4P	615277-88-8P	

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of **farnesyl** transferase inhibiting **tetrazoloquinazolines** substituted with carbon-linked imidazoles or **triazoles**)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:777590 CAPLUS Full-text

DOCUMENT NUMBER: 139:292244

TITLE: Benzylimidazolyl substituted 2-quinoline and **quinazoline** derivatives for use as **farnesyl** transferase inhibitors

INVENTOR(S): **Angibaud, Patrick Rene**; Venet, Marc Gaston; Meyer, Christophe; Breslin, Henry Joseph

PATENT ASSIGNEE(S): Janssen Pharmaceutica NV, Belg.

SOURCE: PCT Int. Appl., 46 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003080058	A1	20031002	WO 2003-EP2874	20030318
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2478813	A1	20031002	CA 2003-2478813	20030318
AU 2003223970	A1	20031008	AU 2003-223970	20030318
EP 1490065	A1	20041229	EP 2003-720339	20030318
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005171111	A1	20050804	US 2003-508185	20030318
JP 2005523909	T	20050811	JP 2003-577885	20030318
PRIORITY APPLN. INFO.:			EP 2002-76157	A 20020322
			WO 2003-EP2874	W 20030318

OTHER SOURCE(S): MARPAT 139:292244

GI

AB This invention comprises the novel compds. I (wherein m = 0-3; n = 0-2; Y1-Y2 = C:N, C:CR8, CHNR8, CHCHR8 (R8 = H, halo, CN, C1-6alkyl, HO, CO); R1 = H, OH, halo, CN, NO2, C1-6alkyl, substituted C3-10cycloalkyl, cyanoC1-6alkyl, hydroxyC1-6alkyl, C1-6alkoxyC1-6alkyl, C1-6alkylamino, trihalomethyl, C2-6alkenyl, C2-6alkynyl, CHO, HO2C, C1-6alkoxycarbonyl, substituted CH:NOH or 2 adjacent R1 substituents = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2; R2 = H, halo, CN, NO2, C1-6alkyl, hydroxyC1-6alkyl, C1-6alkoxyC1-6alkyl, CF3, HO2C, C1-6alkoxycarbonyl, NO2, cyanoC1-6alkyl, C2-6alkenyl, C2-6alkynyl, CHO, substituted CH:NOH or 2 adjacent R2 substituents = OCH2O, OCH2CH2O; R3 = H, OH, halo, C1-6alkyl, haloC1-6alkyl, cyanoC1-6alkyl, aminoC1-6alkyl, substituted C1-6alkylCONH2, mono(di)(C1-6alkylamino)C1-6alkyl, hydroxyC1-6alkyl, C1-6alkoxyC1-6alkyl, carbonylC1-6alkyl, hydroxycarbonylC1-6alkyl, C1-6alkoxycarbonylC1-6alkyl, HO2C, C1-6alkoxycarbonyl etc.; R4 = H, OH, halo, CN, C1-6alkyl, C1-6alkoxy; R5 = H, C1-12alkyl, substituted C3-10cycloalkyl, cyanoC1-6alkyl, substituted C1-6alkylCO2H, aminocarbonylC1-6alkyl, substituted C1-6alkylOH, substituted C1-6alkylSH, CF3, arylC1-6alkyl, heterocycloC1-6alkyl, hydroxyC1-6alkyl, C1-6alkoxyC1-6alkyl, substituted C1-6alkylamine; R6 = O, or R5 + R6 = CH:CHN=, CH:NN=, N:NN=; R7 = H, C1-6alkyl or R3 + R7 = O) having *farnesyl* transferase inhibiting activity. Also claimed are their preparation, compns. containing them and their use as a medicine to treat e.g. cancer. For example, II (R8 = Me) was prepared in 27 % yield by methylation of II (R8 = H), which was prepared in a multistep process starting from 1-bromo-4-nitrobenzene and 3-chlorobenzeneacetonitrile in MeOH containing NaOH to give 5-bromo-3-(3-chlorophenyl)-2,1-benzisoxazole which was converted to (2-amino-5-bromophenyl)(3-chlorophenyl)methanone which was converted to 6-bromo-4-(3-chlorophenyl)-2-methoxyquinoline in a 4 step process and then reacted with 4-[(5-formyl-1H-imidazol-2-yl)methyl]benzonitrile. The product of this latter reaction, 3-(3-chlorophenyl)-N-[4-[(4-cyanophenyl)methyl-1H-imidazol-5-yl]hydroxymethyl]phenyl]-2-propenimidic acid (1E,2E)-Me ester was refluxed in HCl to give II (R8 = H). Film-coated tablets containing I were made.

IC ICM A61K031-4704

ICS A61K031-4709; A61K031-4745; A61P035-00; C07D401-06; C07D487-04

CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

ST benzylimidazolyl substituted quinoline *quinazoline* prepn
antitumor agent

IT Antitumor agents

Human

Neoplasm

(preparation of benzylimidazolyl substituted 2-quinoline and
quinazoline derivs. as antitumor agents)

IT Drug delivery systems

(tablets, coated; preparation of benzylimidazolyl substituted 2-quinoline
and *quinazoline* derivs. as antitumor agents)

IT 607715-98-0P 607715-99-1P 607716-00-7P 607716-01-8P 607716-05-2P

607716-06-3P 607716-07-4P 607716-08-5P 607716-09-6P 607716-10-9P

607716-11-0P 607716-12-1P 607716-13-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of benzylimidazolyl substituted 2-quinoline and
quinazoline derivs. as antitumor agents)

IT 75-05-8, Acetonitrile, reactions 108-24-7, Acetic acid anhydride

530-62-1, 1,1'-Carbonyldiimidazole 586-78-7, 1-Bromo-4-nitrobenzene

765-30-0, Cyclopropanamine 1529-41-5, 3-Chlorobenzeneacetonitrile

26628-22-8, Sodium azide 183500-37-0 189353-88-6 607716-20-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzylimidazolyl substituted 2-quinoline and

quinazoline derivs. as antitumor agents)

IT 190898-86-3P 280143-23-9P 288391-73-1P 288391-74-2P 406161-72-6P
607715-97-9P 607716-02-9P 607716-03-0P 607716-04-1P 607716-14-3P
607716-15-4P 607716-16-5P 607716-17-6P 607716-19-8P 607717-51-1P
607717-51-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of benzyylimidazolyl substituted 2-quinoline and

quinazoline derivs. as antitumor agents)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:737586 CAPLUS Full-text

DOCUMENT NUMBER: 139:261308

TITLE: Preparation of aryl and heteroaryl hydroxamic acids as
inhibitors of histone deacetylase for treating
proliferative diseases

INVENTOR(S): Van Emelen, Kristof; Verdonck, Marc Gustaaf Celine;
Van Brandt, Sven Franciscus Anna; **Angibaud**,
Patrick Rene; Meerpoel, Lieven; Dyatkin, Alexey
Borisovich

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

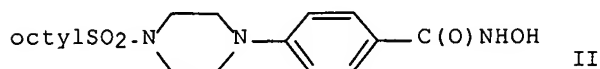
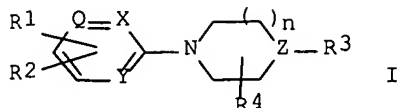
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003075929	A1	20030918	WO 2003-EP2515	20030311
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
CA 2476065	A1	20030918	CA 2003-2476065	20030311
AU 2003218737	A1	20030922	AU 2003-218737	20030311
EP 1485099	A1	20041215	EP 2003-711981	20030311
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK	
BR 2003007624	A	20050111	BR 2003-7624	20030311
US 2005096468	A1	20050505	US 2003-507785	20030311
CN 1639125	A	20050713	CN 2003-805675	20030311
CN 1642551	A	20050720	CN 2003-805833	20030311
JP 2005525379	T	20050825	JP 2003-574203	20030311
NZ 534832	A	20050930	NZ 2003-534832	20030311
ZA 2004007237	A	20050928	ZA 2004-7237	20040909
ZA 2004007235	A	20051004	ZA 2004-7235	20040909
ZA 2004007232	A	20051006	ZA 2004-7232	20040909
ZA 2004007233	A	20051006	ZA 2004-7233	20040909
ZA 2004007234	A	20051006	ZA 2004-7234	20040909
ZA 2004007236	A	20051006	ZA 2004-7236	20040909

NO 2004004113
PRIORITY APPLN. INFO.:

A 20040928
OTHER SOURCE(S):
GI MARPAT 139:261308

NO 2004-4113
US 2002-363799P
WO 2003-EP2515

20040928
P 20020313
W 20030311



AB This invention comprises aryl and heteroaryl hydroxamic acids (shown as I; variables defined below; e.g. II) having histone deacetylase inhibiting enzymic activity; their preparation, compns. containing them and their use as a medicine. Compds. I show excellent in-vitro histone deacetylase inhibiting enzymic activity, have advantageous properties with regard to cellular activity and specific properties with regard to inhibition of cell cycle progression at both G1 and G2 checkpoints (p21 induction capacity), and show good metabolic stability and high bioavailability and more particular show oral bioavailability. They can also be used for detection and identification of histone deacetylase. General synthetic procedures and characterization data for twenty-seven I are included; also, preps. of 12 intermediates are included. For example, a 59 % yield of 2-[4-(dimethylaminosulfonyl)piperazin-1-yl]pyrimidine-5-carboxylic acid was obtained by removing the O-tetrahydropyranyl group of its ester using trifluoroacetic acid; the ester was prepared in 61 % yield from N'-(ethylcarbonimidoyl)-N,N-dimethyl-1,3-propanediamine monohydrochloride, sodium 2-[4-(dimethylaminosulfonyl)piperazin-1-yl]pyrimidine-5-carboxylate, O-(tetrahydro-2H-pyran-2-yl)hydroxylamine, and 1-hydroxy-1H-benzotriazole in CH₂Cl₂/THF. The sodium salt was obtained by base hydrolysis of the Et ester; the ester was prepared in 73 % yield from Et 2-(piperazin-1-yl)pyrimidine-5-carboxylate and dimethylsulfonyl chloride; Et 2-(piperazin-1-yl)pyrimidine-5-carboxylate was obtained in <96 % yield from Et 2-(4-benzylpiperazin-1-yl)pyrimidine-5-carboxylate by hydrogenation using Pd/C; the benzyl derivative was obtained from 1-(phenylmethyl)piperazine, (135 mL) was added gradually to a solution of potassium carbonate (0.18 mol) and 2-(methylsulfonyl)-5-pyrimidinecarboxylic acid Et ester, K₂CO₃ in MeCN. For I: n is 0-3; Q, X and Y are N or C; Z is N or CH; R₁ is -C(O)NR₅R₆, -N(H)C(O)R₇, -C(O)-C₁-6alkanediylSR₇, -NR₈C(O)N(OH)R₇, -NR₈C(O)C₁-6alkanediylSR₇, -NR₈C(O)C:N(OH)R₇ or another Zn-chelating-group; R₂ is H, halo, hydroxy, amino, nitro, C₁-6alkyl, C₁-6alkyloxy, trifluoromethyl, di(C₁-6-alkyl)amino, hydroxyamino or naphthalenylsulfonylpyrazinyl. R₃ is H, C₁-6-alkyl, arylC₂-6alkenediyl, furanylcarbonyl, naphthalenylcarbonyl, -C(O)phenylR₉, C₁-6alkylaminocarbonyl, aminosulfonyl, arylaminosulfonyl, aminosulfonylamino, di(C₁-6-alkyl)aminosulfonylamino, arylaminosulfonylamino, aminosulfonylaminoC₁-6-alkyl, di(C₁-6-alkyl)aminosulfonylaminoC₁-6-alkyl, arylaminosulfonylaminoC₁-6alkyl, di(C₁-6-alkyl)aminoC₁-6alkyl, C₁₁-12-alkylsulfonyl, di(C₁-6-alkyl)aminosulfonyl, trihaloC₁-6-alkylsulfonyl, di(aryl)C₁-6alkylcarbonyl, thiophenylC₁-6alkylcarbonyl, pyridinylcarbonyl or arylC₁-6alkylcarbonyl. R₄ is H, hydroxy, amino, hydroxyC₁-6alkyl, C₁-6alkyl, C₁-6alkyloxy, arylC₁-6alkyl, aminocarbonyl, hydroxycarbonyl, aminoC₁-6-alkyl, aminocarbonylC₁-6-alkyl,

hydroxycarbonylC1-6-alkyl, hydroxyaminocarbonyl, C1-6-alkyloxycarbonyl, C1-6-alkylaminoC1-6-alkyl or di(C1-6-alkyl)aminoC1-6-alkyl; when R3 and R4 are present on the same C atom, R3 and R4 together may form -C(O)-NH-CH2-NR10- wherein R10 is H or aryl; when R3 and R4 are present on adjacent C atoms, R3 and R4 together may form :CH-CH:CH-CH: ; addnl. details are given in the claims.

IC ICM A61K031-505

ICS A61P035-00; C07D471-10; C07D239-42; C07D401-04; C07D295-14;
C07D307-68; C07D217-02; C07D207-09; C07D401-12; C12Q001-34

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:491226 CAPLUS Full-text

DOCUMENT NUMBER: 139:69163

TITLE: 1,8-Annulated quinoline derivatives substituted with
carbon-linked **triazoles** as **farnesyl**
transferase inhibitors

INVENTOR(S): **Angibaud, Patrick Rene**; Venet, Marc Gaston

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

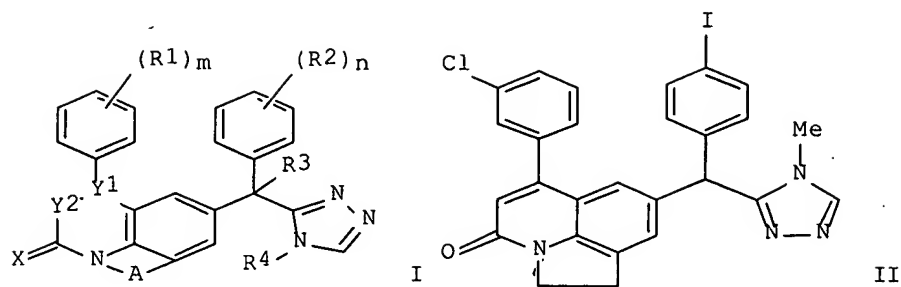
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051880	A1	20030626	WO 2002-EP14089	20021211
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
CA 2469275	A1	20030626	CA 2002-2469275	20021211
AU 2002358677	A1	20030630	AU 2002-358677	20021211
EP 1458720	A1	20040922	EP 2002-792974	20021211
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK	
JP 2005511790	T	20050428	JP 2003-552764	20021211
US 2005176702	A1	20050811	US 2003-498535	20021211
PRIORITY APPLN. INFO.:			EP 2001-204989	A 20011219
			WO 2002-EP14089	W 20021211

OTHER SOURCE(S): MARPAT 139:69163

GI



AB Title compds. I (wherein m, n = 1, 2, or 3; A = CH:CH, CH₂CH₂, CH₂CH₂CH₂, CH₂O, CH₂CH₂O, optionally substituted with alkyl groups; X = O or S; Y₁-Y₂ = C:CR₅ or CHCHR₅; R₅ = H, halo, alkyl; R₁ = H, OH, halo, CN, NO₂, alkenyl, alkynyl, CHO, CO₂H, alkoxycarbonyl, CONR₁₄R₁₅, CONR₁₄O-alkyl, CONR₁₄-alkenyl, OCOR₁₆, CR₁₆:NR₁₇, CR₁₆:NOR₁₇, or (un)substituted alkyl, alkoxy, or alkylenylcycloalkyl; R₂ = H, OH, halo, CN, NO₂, alkyl, alkoxy, trihalomethyl, alkylthio, dialkylamino, alkenyl, CO₂H, alkoxycarbonyl, CONR₁₄R₁₅, etc.; or R₁R₂ = OCH₂O, OCH₂CH₂O, OCH:CH, or OCH₂CH₂; R₃ = H, halo, (un)substituted alkyl, alkylenylcycloalkyl, heterocyclyl, etc.; R₄ = H or alkyl; R₁₄, R₁₅, R₁₆, and R₁₇ = independently H, alkyl, or (un)substituted alkylenylcycloalkyl) were prepared as *farnesyl* transferase inhibitors. For example, the 4H-pyrrolo[3,2,1-ij]quinolin-4-one II was prepared by removal of a mercapto group from an intermediate prepared in 6 steps using (±)-6-(3-chlorophenyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one and 4-iodobenzoic acid as starting materials. The latter inhibited *farnesyl* protein transferase with a pIC₅₀ value of 7.669. Thus, compns. containing I are useful for the treatment of proliferative disorders, such as cancer (no data).

IC ICM C07D471-06

ICS C07D498-06; A61K031-47; A61P035-00

CC 27-17' (Heterocyclic Compounds (One Hetero Atom))

ST annelated quinoline deriv prepn *farnesyl* transferase inhibitor

antitumor agent

IT Antitumor agents

Cytotoxic agents

Neoplasm

(preparation of *triazolyl*-substituted 1,8-annelated quinolines as *farnesyl* transferase inhibitors for treatment of cancer)

IT 550357-45-4P, 6-(3-Chlorophenyl)-1,2,5,6-tetrahydro-8-(4-iodobenzoyl)-4H-pyrrolo[3,2,1-ij]quinolin-4-one 550357-46-5P, 6-(3-Chlorophenyl)-1,2-dihydro-8-(4-iodobenzoyl)-4H-pyrrolo[3,2,1-ij]quinolin-4-one 550357-47-6P 550357-48-7P 550357-51-2P 550357-52-3P, 5-Bromo-1-[(2E)-3-(3-chlorophenyl)-1-oxo-2-propenyl]-2,3-dihydro-1H-indole 550357-53-4P, 8-Bromo-6-(3-chlorophenyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one 550357-54-5P, 8-Bromo-6-(3-chlorophenyl)-1,2-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one 550357-55-6P, 6-(3-Chlorophenyl)-1,2-dihydro-N-methoxy-N-methyl-4-oxo-4H-pyrrolo[3,2,1-ij]quinoline-8-carboxamide 550357-56-7P, 8-Benzoyl-6-(3-chlorophenyl)-1,2-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one 550357-59-0P 550357-60-3P 550357-61-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of *triazolyl*-substituted 1,8-annelated quinolines as *farnesyl* transferase inhibitors for treatment of cancer)

IT 131384-38-8, *Farnesyltransferase*

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of **triazolyl**-substituted 1,8-annelated quinolines as
farnesyl transferase inhibitors for treatment of cancer)

IT 550357-34-1P, 6-(3-Chlorophenyl)-1,2-dihydro-8-[(4-iodophenyl)(4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]-4H-pyrrolo[3,2,1-ij]quinolin-4-one
550357-35-2P, 4-[[6-(3-Chlorophenyl)-1,2-dihydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl](4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]-benzonitrile 550357-36-3P 550357-37-4P 550357-38-5P 550357-39-6P
550357-40-9P, N-[[6-(3-Chlorophenyl)-1,2-dihydro-4-oxo-4H-pyrrolo[3,2,1-ij]quinolin-8-yl](4-fluorophenyl)(4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]-acetamide 550357-41-0P 550357-42-1P,
6-(3-Chlorophenyl)-8-[(4-fluorophenyl)(2-hydroxyethoxy)(4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]-1,2-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one 550357-43-2P 550357-44-3P, 8-[Amino(4-fluorophenyl)(4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]-6-(3-chlorophenyl)-1,2-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one 550357-58-9P 550357-62-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of **triazolyl**-substituted 1,8-annelated quinolines as
farnesyl transferase inhibitors for treatment of cancer)

IT 619-58-9, 4-Iodo-benzoic acid 6638-79-5, N-Methoxy-methanamine,hydrochloride 22190-33-6, 5-Bromo-2,3-dihydro-1H-indole 24854-43-1, 2,4-Dihydro-4-methyl-3H-1,2,4-**triazole**-3-thione 39096-24-7, 3-(3-Chlorophenyl)-2-propenoyl chloride 213389-68-5, 6-(3-Chlorophenyl)-1,2,5,6-tetrahydro-4H-pyrrolo[3,2,1-ij]quinolin-4-one 213389-74-3 213389-78-7

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of **triazolyl**-substituted 1,8-annelated quinolines as
farnesyl transferase inhibitors for treatment of cancer)

IT 550357-49-8P 550357-50-1P, 6-(3-Chlorophenyl)-1,2-dihydro-8-[(4-iodophenyl)(5-mercapto-4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]-4H-pyrrolo[3,2,1-ij]quinolin-4-one 550357-57-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of **triazolyl**-substituted 1,8-annelated quinolines as
farnesyl transferase inhibitors for treatment of cancer)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:293606 CAPLUS Full-text

DOCUMENT NUMBER: 139:197461

TITLE: 5-Imidazolylquinolinones, -**quinazolinones**, and -benzoazepinones as **farnesyltransferase** inhibitors

AUTHOR(S): **Angibaud, Patrick**; Bourdrez, Xavier; Devine, Ann; End, David W.; Freyne, Eddy; Ligny, Yannick; Muller, Philippe; Mannens, Geert; Pilatte, Isabelle; Poncelet, Virginie; Skrzat, Stacy; Smets, Gerda; Van Dun, Jacky; Van Remoortere, Pieter; Venet, Marc; Wouters, Walter

CORPORATE SOURCE: Medicinal Chemistry Department, Johnson & Johnson Pharmaceutical Research & Development, Val de Reuil, 27106, Fr.

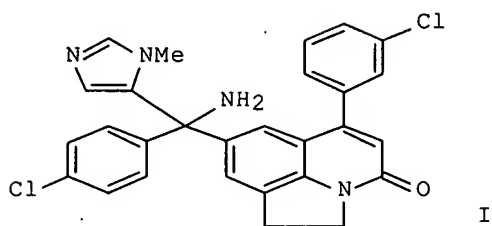
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(9), 1543-1547

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English
 OTHER SOURCE(S): CASREACT 139:197461
 GI



- AB The evaluation of structure-activity relationships associated with the modification of the R115777 quinolinone ring moiety displaying potent in vitro inhibiting activity is described. E.g., pyrrol[3,2,1-ij]quinolin-4-one I, an analog of R115777, was prepared from 2,3-dihydroindole and its **farnesyltransferase**-inhibiting activity was determined
- CC 28-22 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1
- ST imidazolyl quinolinone **quinazolinone** benzoazepinone prepn
farnesyltransferase inhibitor
- IT Structure-activity relationship
 (**farnesyltransferase**-inhibiting; preparation of imidazolylquinolinones, -**quinazolinones**, and -benzoazepinones as **farnesyltransferase** inhibitors)
- IT Antitumor agents
 Neoplasm
 (preparation of imidazolylquinolinones, -**quinazolinones**, and -benzoazepinones as **farnesyltransferase** inhibitors)
- IT 192185-68-5, R115777
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (preparation of R115777 analogs as **farnesyltransferase** inhibitors)
- IT 131384-38-8, **Farnesyltransferase**
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of imidazolylquinolinones, -**quinazolinones**, and -benzoazepinones as **farnesyltransferase** inhibitors)
- IT 213388-97-7P 213388-98-8P
 RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of imidazolylquinolinones, -**quinazolinones**, and -benzoazepinones as **farnesyltransferase** inhibitors)
- IT 213388-93-3P 213388-99-9P 213389-03-8P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of imidazolylquinolinones, -**quinazolinones**, and -benzoazepinones as **farnesyltransferase** inhibitors)
- IT 213388-96-6P 213389-01-6P 213389-02-7P 213389-04-9P 215034-66-5P
 432552-86-8P 432552-87-9P 432553-04-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation of imidazolylquinolinones, -**quinazolinones**, and -benzoazepinones as **farnesyltransferase** inhibitors)
- IT 74-11-3, 4-Chlorobenzoic acid 91-23-6 122-01-0 496-15-1 616-47-7,

1-Methylimidazole 635-46-1 1529-41-5, 3-Chlorobenzyl cyanide
7497-60-1 39096-24-7 190898-77-2 190898-78-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of imidazolylquinolinones, -**quinazolinones**, and
-benzoazepinones as **farnesyltransferase** inhibitors)

IT 192187-40-9P 213389-43-6P 213389-44-7P 213389-45-8P 213389-46-9P
213389-48-1P 213389-54-9P 213389-55-0P 213389-70-9P 213389-71-0P
213389-74-3P 213389-75-4P 215034-62-1P 215034-81-4P 215034-82-5P
215034-86-9P 432552-77-7P 432552-78-8P 432552-79-9P 432552-82-4P
432552-84-6P 432553-05-4P 432553-10-1P 544690-75-7P 586346-38-5P
586346-39-6P 586346-40-9P 586346-41-0P 586346-42-1P 586346-43-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of imidazolylquinolinones, -**quinazolinones**, and
-benzoazepinones as **farnesyltransferase** inhibitors)

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:504781 CAPLUS Full-text

DOCUMENT NUMBER: 137:78964

TITLE: Preparation of **farnesyl** transferase
inhibiting 4-substituted quinolines and
quinazolines

INVENTOR(S): **Angibaud, Patrick Rene**; Venet, Marc Gaston

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051835	A1	20020704	WO 2001-EP15234	20011221
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1347966	A1	20031001	EP 2001-988065	20011221
EP 1347966	B1	20060308		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004516323	T	20040603	JP 2002-552930	20011221
AT 319704	T	20060315	AT 2001-988065	20011221
ES 2260316	T3	20061101	ES 2001-1988065	20011221
US 2004063944	A1	20040401	US 2003-451902	20030626
US 7129356	B2	20061031		

PRIORITY APPLN. INFO.: EP 2000-204715 A 20001227
WO 2001-EP15234 W 20011221

OTHER SOURCE(S): MARPAT 137:78964

GI



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IC      ICM   C07D401-06
        ICS   A61K031-47; A61P035-00; C07D403-06
CC      28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
        Section cross-reference(s): 1, 63
ST      quinoline quinazoline prepn formulation farnesyl
        transferase inhibitor antitumor
IT      Antitumor agents
        Human
        Neoplasm
        (preparation of farnesyl transferase inhibiting 4-substituted
        quinolines and quinazolines)

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IT 439906-16-8P 439906-17-9P 439906-18-0P 439906-21-5P 439906-23-7P
439906-29-3P 439906-35-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of *farnesyl* transferase inhibiting 4-substituted
quinolines and *quinazolines*)

IT 78-94-4, 3-Buten-2-one, reactions 87-13-8, (Ethoxymethylene)propanedioic acid diethyl ester 87-48-9, 5-Bromo-1H-indole-2,3-dione 100-44-7,

(Chloromethyl)benzene, reactions 108-43-0, 3-Chlorophenol 108-44-1,
3-Methylaniline, reactions 109-86-4, 2-Methoxyethanol 530-62-1,
1,1'-Carbonyldiimidazole 616-47-7, 1-Methyl-1H-imidazole 618-48-4,
3-Chlorobenzamide 620-20-2, 1-Chloro-3-(chloromethyl)benzene 626-55-1,
3-Bromopyridine 670-96-2, 2-Phenyl-1H-imidazole 4913-77-3,
(4-Aminophenyl)(4-chlorophenyl)methanone 24854-43-1,
2,4-Dihydro-4-methyl-3H-1,2,4-triazole-3-thione 122334-37-6,
4-Chloro-N-methoxy-N-methylbenzamide 190898-64-7 439868-77-6
439906-99-7 439907-00-3 439907-01-4 439907-02-5 439907-03-6
439907-04-7 439907-05-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of **farnesyl** transferase inhibiting 4-substituted
quinolines and **quinazolines**)

IT 439868-39-0P, Ethyl 6-(4-chlorobenzoyl)-4-hydroxy-3-quinolinecarboxylate
439868-40-3P, 6-(4-Chlorobenzoyl)-4-hydroxy-3-quinolinecarboxylic acid
439868-41-4P, (4-Chlorophenyl)(4-hydroxy-6-quinolinyl)methanone
439868-42-5P, (4-Chlorophenyl)(4-chloro-6-quinolinyl)methanone
439868-56-1P, (4-Chlorophenyl)(4-methyl-6-quinolinyl)methanone
439868-70-9P 439906-54-4P, 6-(4-Chlorobenzoyl)-4-phenoxy-2(1H)-
quinolinone 439906-56-6P 439906-58-8P 439906-60-2P 439906-62-4P
439906-64-6P 439906-66-8P 439906-67-9P 439906-69-1P 439906-71-5P
439906-73-7P 439906-74-8P 439906-75-9P 439906-77-1P 439906-79-3P
439906-80-6P 439906-81-7P 439906-82-8P 439906-83-9P 439906-84-0P
439906-85-1P 439906-86-2P 439906-87-3P 439906-88-4P 439906-89-5P
439906-90-8P, 5-Bromo-1,3-dihydro-3,3-dimethoxy-2H-indol-2-one
439906-91-9P, 5-(4-Chlorobenzoyl)-1,3-dihydro-3,3-dimethoxy-2H-indol-2-one
439906-92-0P, 5-(4-Chlorobenzoyl)-1H-indole-2,3-dione 439906-93-1P,
1-Acetyl-5-(4-chlorobenzoyl)-1H-indole-2,3-dione 439906-94-2P,
6-(4-Chlorobenzoyl)-1,2-dihydro-2-oxo-4-quinolinecarboxylic acid
439906-95-3P 439906-96-4P 439906-97-5P 439906-98-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of **farnesyl** transferase inhibiting 4-substituted
quinolines and **quinazolines**)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:504780 CAPLUS Full-text

DOCUMENT NUMBER: 137:63253

TITLE: Preparation of **farnesyl** transferase
inhibiting 4-heterocyclylquinolines and 4-
heterocyclylquinazolines

INVENTOR(S): **Angibaud, Patrick Rene**; Venet, Marc Gaston;
Poncelet, Virginie Sophie

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

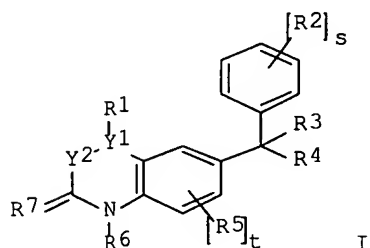
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051834	A1	20020704	WO 2001-EP15232	20011221
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,			

PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 EP 1351954 A1 20031015 EP 2001-995712 20011221
 EP 1351954 B1 20060503
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004516322 T 20040603 JP 2002-552929 20011221
 AT 325116 T 20060615 AT 2001-995712 20011221
 PT 1351954 T 20060929 PT 2001-995712 20011221
 US 2004067968 A1 20040408 US 2003-250381 20030626
 US 2006135769 A1 20060622 US 2006-348593 20060207
 PRIORITY APPLN. INFO.: EP 2000-204716 A 20001227
 WO 2001-EP15232 W 20011221
 US 2003-250381 A1 20030626
 OTHER SOURCE(S): MARPAT 137:63253
 GI



- AB The title compds. [I; s = 0-5; t = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, CHCHR9 (wherein R9 = H, halo, CN, etc.); R1 = ZHet (Z = a bond, O, S, etc.; Het = (un)substituted monocyclic or bicyclic heterocyclic ring containing one or more heteroatoms selected from O, S and N); R2 = N3, OH, halo, etc.; R3 = H, halo, CN, etc.; R4 = (un)substituted imidazolyl, triazolyl, pyridyl; R5 = CN, OH, halo, etc.; R6 = H, alkyl, cyanoalkyl, etc.; R7 = O, S; or R6 and R7 together from N:NN, CONHN, etc.] having **farnesyl** transferase inhibiting activity and useful in inhibiting tumor growth (no biol. data), were prepared and formulated. E.g., a multi-step synthesis of quinolinone I [s = 1; t = 0; Y1Y2 = C:CH; R1 = 1H-imidazol-1-yl; R2 = 4-Cl; R3 = H; R4 = 1H-imidazol-1-yl; R6 = H; R7 = O] was given.
- IC ICM C07D401-06
 ICS C07D409-06; C07D417-06; C07D413-06; A61K031-47; A61P035-00
- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 1, 63
- ST **farnesyl** protein transferase inhibitor heterocyclyl quinoline
quinazoline prepn antitumor
- IT Antitumor agents
 Human
 (preparation of **farnesyl** transferase inhibiting
 4-heterocyclylquinolines and 4-**heterocyclylquinazolines**)
- IT Neoplasm
 (treatment of; preparation of **farnesyl** transferase inhibiting
 4-heterocyclylquinolines and 4-**heterocyclylquinazolines**)
- IT 131384-38-8, **Farnesyl** protein transferase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (preparation of **farnesyl** transferase inhibiting
 4-heterocyclylquinolines and 4-**heterocyclylquinazolines**)

IT 439868-20-9P 439868-29-8P 439868-30-1P 439868-32-3P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of **farnesyl** transferase inhibiting
 4-heterocyclylquinolines and 4-**heterocyclylquinazolines**)

IT 439868-17-4P 439868-18-5P 439868-19-6P 439868-21-0P 439868-22-1P
 439868-23-2P 439868-24-3P 439868-25-4P 439868-26-5P 439868-27-6P
 439868-28-7P 439868-31-2P 439868-33-4P 439868-34-5P 439868-35-6P
 439868-36-7P 439868-37-8P 439868-38-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of **farnesyl** transferase inhibiting
 4-heterocyclylquinolines and 4-**heterocyclylquinazolines**)

IT 70-11-1, 2-Bromo-1-phenylethanone 78-94-4, 3-Buten-2-one, reactions
 78-95-5, 1-Chloro-2-propanone 288-32-4, 1H-Imidazole, reactions
 616-47-7, 1-Methyl-1H-imidazole 626-55-1, 3-Bromopyridine 4913-77-3
 17341-93-4, 2,2,2-Trichloroethyl chloroformate 19165-25-4 20893-30-5,
 2-Thiopheneacetonitrile 23784-96-5, 2-Chloro-5-chloromethylthiophene
 190898-64-7 213389-81-2 439868-77-6 439868-78-7 439868-79-8
 439868-80-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of **farnesyl** transferase inhibiting
 4-heterocyclylquinolines and 4-**heterocyclylquinazolines**)

IT 439868-39-0P 439868-40-3P 439868-41-4P 439868-42-5P 439868-43-6P
 439868-44-7P 439868-45-8P 439868-46-9P 439868-47-0P 439868-48-1P
 439868-49-2P 439868-50-5P 439868-51-6P 439868-52-7P 439868-53-8P
 439868-54-9P 439868-55-0P 439868-56-1P 439868-57-2P 439868-58-3P
 439868-59-4P 439868-60-7P 439868-61-8P 439868-62-9P 439868-63-0P
 439868-64-1P 439868-65-2P 439868-66-3P 439868-67-4P 439868-68-5P
 439868-69-6P 439868-70-9P 439868-71-0P 439868-72-1P 439868-73-2P
 439868-74-3P 439868-75-4P 439868-76-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of **farnesyl** transferase inhibiting
 4-heterocyclylquinolines and 4-**heterocyclylquinazolines**)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:408669 CAPLUS Full-text

DOCUMENT NUMBER: 137:6204

TITLE: Preparation of **farnesyl** transferase
 inhibiting benzoheterocyclic derivatives

INVENTOR(S): **Angibaud, Patrick Rene**; Venet, Marc Gaston;
 Poncelet, Virginie Sophie

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

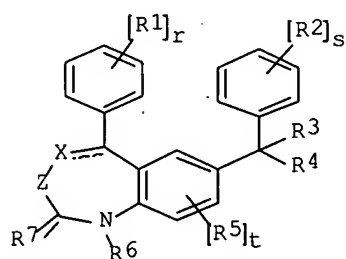
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002042296 A1 20020530 WO 2001-EP13317 20011115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 200214056 A 20020603 AU 2002-14056 20011115
EP 1339709 A1 20030903 EP 2001-982492 20011115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004514677 T 20040520 JP 2002-544430 20011115
US 2004034218 A1 20040219 US 2003-432292 20030519
US 7153958 B2 20061226

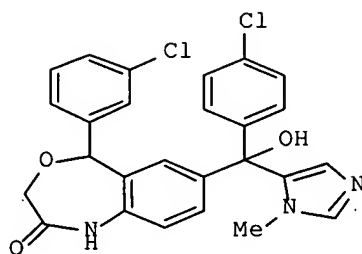
PRIORITY APPLN. INFO.:

EP 2000-204149 A 20001121
WO 2001-EP13317 W 20011115

OTHER SOURCE(S): MARPAT 137:6204
GI



I



II

AB The title compds. [I; r, s = 0-5; t = 0-3; X = NH, O, S, N; Z = (un)substituted alkanediyl; R1, R2 = N3, OH, halo, etc.; or two R1 or R2 adjacent to one another on the Ph ring may form together = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, O(CH2)3, CH:CHCH:CH; R3 = H, halo, CN, etc.; R4 = (un)substituted imidazolyl, triazolyl, pyridyl, etc.; R5 = CN, OH, halo, etc.; R6 = H, alkyl, CF3, etc.; R7 = O, S; or R6 and R7 together form -N:NN=, -C(O)NHN=, -CR30:CR31N=, etc.; R30, R31 = H, alkyl, CN, etc.] having **farnesyl** transferase inhibiting activity, and useful in inhibiting tumor growth, were prepared and formulated. Thus, cyclization of 2-bromo-N-{2-[(3-chlorophenyl)hydroxymethyl]-4-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]phenyl}acetamide (preparation given) in the presence of 2-methyl-2-propanol potassium salt in 2-propanol afforded 11% II.

IC ICM C07D413-06
ICS C07D417-06; C07D403-06; C07D498-04; A61K031-5513; A61K031-553; A61K031-554; A61K031-395; A61P035-00; C07D498-04; C07D267-00; C07D257-00

CC 28-22 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

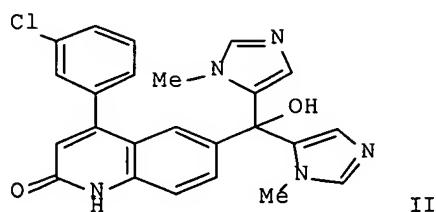
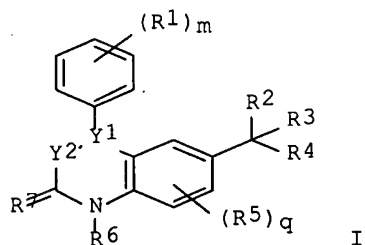
ST benzoheterocyclic prepn formulation **farnesyl** transferase inhibition antitumor; benzoxazepine prepn formulation **farnesyl**

transferase inhibition antitumor; benzothiazepine prepn formulation
farnesyl transferase inhibition antitumor; benzodiazepine prepn
formulation **farnesyl** transferase inhibition antitumor

- IT Antitumor agents
(preparation of **farnesyl** transferase inhibiting benzoheterocyclic
derivs.)
- IT 131384-38-8, **Farnesyltransferase**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of **farnesyl** transferase inhibiting benzoheterocyclic
derivs.)
- IT 432552-77-7P 432552-78-8P 432552-82-4P 432552-85-7P 432552-87-9P
432552-89-1P 432552-90-4P 432552-91-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of **farnesyl** transferase inhibiting benzoheterocyclic
derivs.)
- IT 432552-79-9P 432552-80-2P 432552-81-3P 432552-83-5P 432552-84-6P
432552-86-8P 432552-88-0P 432552-92-6P 432552-93-7P 432552-94-8P
432552-95-9P 432552-96-0P 432552-97-1P 432552-98-2P 432552-99-3P
432553-00-9P 432553-01-0P 432553-02-1P 432553-03-2P 432553-04-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of **farnesyl** transferase inhibiting benzoheterocyclic
derivs.)
- IT 140-29-4, Benzeneacetonitrile 288-32-4, 1H-Imidazole, reactions
616-47-7, 1-Methyl-1H-imidazole 623-33-6, Glycine ethyl ester
hydrochloride 626-55-1, 3-Bromopyridine 7051-34-5,
(Bromomethyl)cyclopropane 190898-64-7 190898-78-3 192187-40-9
215034-79-0 432553-37-2 432553-38-3 432553-39-4 432553-40-7
432553-41-8 432553-42-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **farnesyl** transferase inhibiting benzoheterocyclic
derivs.)
- IT 432553-05-4P 432553-06-5P 432553-07-6P 432553-08-7P 432553-09-8P
432553-10-1P 432553-11-2P 432553-12-3P 432553-13-4P 432553-14-5P
432553-15-6P 432553-16-7P 432553-17-8P 432553-18-9P 432553-20-3P
432553-21-4P 432553-22-5P 432553-23-6P 432553-24-7P 432553-25-8P
432553-26-9P 432553-27-0P 432553-28-1P 432553-29-2P 432553-30-5P
432553-31-6P 432553-32-7P 432553-33-8P 432553-34-9P 432553-35-0P
432553-36-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of **farnesyl** transferase inhibiting benzoheterocyclic
derivs.)
- IT 432553-19-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of **farnesyl** transferase inhibiting benzoheterocyclic
derivs.)
- REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L25 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:240764 CAPLUS Full-text
DOCUMENT NUMBER: 136:279472
TITLE: Preparation of 6-heterocyclylmethyl quinolinone
derivatives as **farnesyl** transferase
inhibitors for treatment of tumors and proliferative
diseases

INVENTOR(S): **Angibaud, Patrick Rene;** Venet, Marc Gaston;
 Mevellec, Laurence Anne
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024687	A1	20020328	WO 2001-EP10975	20010918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001093835	A5	20020402	AU 2001-93835	20010918
EP 1322644	A1	20030702	EP 2001-974284	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521863	T	20040722	JP 2002-529097	20010918
US 2003199547	A1	20031023	US 2003-381362	20030324
US 7067531	B2	20060627		
PRIORITY APPLN. INFO.:			EP 2000-203368	A 20000925
			EP 2001-202189	A 20010607
			WO 2001-EP10975	W 20010918
OTHER SOURCE(S):			MARPAT 136:279472	
GI				



AB Title compds. I [wherein m = independently 0-5; q = 0-3; Y1Y2 = C:CR9 or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxy carbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 = azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R2 = (un)substituted mono- or bicyclic heterocyclic ring; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxy carbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino,

etc.; R4 = (un)substituted imidazolyl, **triazolyl**, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N:NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH₂(CH₂)₀₋₁CH₂N:; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepared For example, cyclization of N-[4-bromo-2-(3-chlorobenzoyl)phenyl]acetamide (3-step preparation given) using t-BuOH•K in DME afforded 6-bromo-4-(3-chlorophenyl)-2(1H)-quinoline (80.76%), which was then methoxylated (86%). Addition of bis(1-methyl-1H-imidazol-5-yl)methanone in the presence of BuLi in THF to give the α,α -bis(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol (5%), followed by reflux in HCl and THF overnight, produced 18 II•2HCl (quant.). I have potent **farnesyl** transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

- IC ICM C07D409-14
ICS A61K031-47; A61P043-00; C07D401-14; C07D521-00; C07D417-14;
C07D405-14
- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
- ST quinoline prepn **farnesyl** transferase inhibitor; antitumor
antiproliferative quinoline prepn
- IT Antitumor agents
Cytotoxic agents
(preparation of quinoline derivs. as **farnesyl** transferase
inhibitors for treatment of tumors and proliferative diseases)
- IT Ras proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of quinoline derivs. as **farnesyl** transferase
inhibitors for treatment of tumors and proliferative diseases)
- IT 406216-70-4P, 4-(3-Chlorophenyl)-6-[hydroxybis(1-methyl-1H-imidazol-5-yl)methyl]-2(1H)-quinolinone dihydrochloride 406216-80-6P,
4-(3-Chlorophenyl)-6-[3-furanylhydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(**farnesyl** transferase inhibitor; preparation of quinolinone derivs. as **farnesyl** transferase inhibitors for treatment of tumors and proliferative diseases)
- IT 406216-72-6P, 4-(3-Chlorophenyl)-6-[hydroxybis(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone ethanedioate (1:2) 406216-74-8P,
4-(3-Chlorophenyl)-6-[methoxy(1-methyl-1H-imidazol-5-yl)-2-thiazolylmethyl]-1-methyl-2(1H)-quinolinone ethanedioate 406216-75-9P,
4-(3-Chlorophenyl)-6-[hydroxy(1-methyl-1H-imidazol-5-yl)-2-thiazolylmethyl]-1-methyl-2(1H)-quinolinone 406216-78-2P,
4-(3-Chlorophenyl)-3-(hydroxymethyl)-6-[(2-phenyl-1H-imidazol-1-yl)(4-phenyl-2-thiazolyl)methyl]-2(1H)-quinolinone ethanedioate 406216-79-3P,
6-[(1H-Benzimidazol-1-yl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(3-chlorophenyl)-1-methyl-2(1H)-quinolinone 406216-81-7P,
4-(3-Chlorophenyl)-6-[hydroxy(1-methyl-1H-imidazol-2-yl)(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone 406216-83-9P,
6-[Amino(3-furanyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(3-chlorophenyl)-1-methyl-2(1H)-quinolinone 406216-84-0P, 6-[(1H-1,2,3-Benzotriazol-1-yl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(3-chlorophenyl)-1-methyl-2(1H)-quinolinone 406216-85-1P, 4-(3-Chlorophenyl)-1-methyl-6-[(1-methyl-1H-imidazol-5-yl)(2-phenyl-1H-imidazol-1-yl)methyl]-2(1H)-quinolinone 406216-86-2P, 4-(3-Chlorophenyl)-6-[(2-ethyl-1H-imidazol-1-yl)(1-methyl-1H-

imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone 406216-87-3P,
4-(3-Chlorophenyl)-1-methyl-6-[(1-methyl-1H-imidazol-5-yl)(4-methyl-1H-
imidazol-1-yl)methyl]-2(1H)-quinolinone 406216-89-5P 406216-90-8P
406216-91-9P 406216-92-0P 406216-93-1P 406216-94-2P 406216-95-3P
406216-96-4P 406216-97-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(*farnesyl* transferase inhibitor; preparation of quinolinone
derivs. as *farnesyl* transferase inhibitors for treatment of
tumors and proliferative diseases)

IT 65247-25-8P, (2-Amino-5-bromophenyl)(3-chlorophenyl)methanone
190898-86-3P, 6-Bromo-4-(3-chlorophenyl)-2-methoxyquinoline
280143-23-9P, 6-Bromo-2-chloro-4-(3-chlorophenyl)quinoline 288391-74-2P,
6-Bromo-4-(3-chlorophenyl)-2(1H)-quinolinone 406161-71-5P,
5-Bromo-3-(3-chlorophenyl)-2,1-benzisoxazole 406161-72-6P,
N-[4-Bromo-2-(3-chlorobenzoyl)phenyl]acetamide 406216-48-6P,
4-(3-Chlorophenyl)-2-methoxy- α,α -bis(1-methyl-1H-imidazol-5-
yl)-6-quinolinemethanol 406216-49-7P, 4-(3-Chlorophenyl)-2-methoxy-
 α -(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol 406216-50-0P,
[4-(3-Chlorophenyl)-2-methoxy-6-quinolinyl](1-methyl-1H-imidazol-5-
yl)methanone 406216-51-1P, 4-(3-Chlorophenyl)-2-methoxy- α -(1-
methyl-1H-imidazol-5-yl)- α -(2-thiazolyl)-6-quinolinemethanol
406216-52-2P, 4-(3-Chlorophenyl)-6-[hydroxy(1-methyl-1H-imidazol-5-yl)-2-
thiazolylmethyl]-2(1H)-quinolinone 406216-53-3P, 3-(3-Chlorophenyl)-5-
(1,3-dioxolan-2-yl)-2,1-benzisoxazole 406216-54-4P, 3-(3-Chlorophenyl)-
2,1-benzisoxazole-5-carboxaldehyde 406216-55-5P, 3-(3-Chlorophenyl)-
 α -(4-phenyl-2-thiazolyl)-2,1-benzisoxazole-5-methanol
406216-56-6P, [3-(3-Chlorophenyl)-2,1-benzisoxazol-5-yl]
(4-phenyl-2-thiazolyl)methanone 406216-57-7P, [4-Amino-3-(3-
chlorobenzoyl)phenyl](4-phenyl-2-thiazolyl)methanone 406216-58-8P,
N-[2-(3-Chlorobenzoyl)-4-[(4-phenyl-2-thiazolyl)carbonyl]phenyl]-3-oxo-
 β -alanine ethyl ester 406216-59-9P, Ethyl 4-(3-chlorophenyl)-1,2-
dihydro-2-oxo-6-[(4-phenyl-2-thiazolyl)carbonyl]-3-quinolinecarboxylate
406216-60-2P, Ethyl 4-(3-chlorophenyl)-1,2-dihydro-6-[hydroxy(4-phenyl-2-
thiazolyl)methyl]-2-oxo-3-quinolinecarboxylate 406216-61-3P, Ethyl
4-(3-chlorophenyl)-6-[chloro(4-phenyl-2-thiazolyl)methyl]-1,2-dihydro-2-
oxo-3-quinolinecarboxylate 406216-62-4P, 4-(3-Chlorophenyl)-6-[hydroxy(1-
methyl-1H-imidazol-5-yl)methyl]-2(1H)-quinolinone 406216-63-5P,
4-(3-Chlorophenyl)-6-[hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-
2(1H)-quinolinone 406216-64-6P, 4-(3-Chlorophenyl)- α -(3-furanyl)-2-
methoxy-6-quinolinemethanol 406216-65-7P, [4-(3-Chlorophenyl)-2-methoxy-
6-quinolinyl]-3-furanylmethanone 406216-66-8P, 4-(3-Chlorophenyl)-6-(3-
furanylcabonyl)-2(1H)-quinolinone 406216-67-9P, 4-(3-Chlorophenyl)-6-(3-
furanylcabonyl)-1-methyl-2(1H)-quinolinone 406216-68-0P,
6-Bromo-4-(3-chlorophenyl)-1-methyl-2(1H)-quinolinone 406216-69-1P,
4-(3-Chlorophenyl)-1-methyl-6-[(1-methyl-1H-imidazol-5-yl)carbonyl]-2(1H)-
quinolinone 406216-73-7P, 4-(3-Chlorophenyl)-6-[methoxy(1-methyl-1H-
imidazol-5-yl)-2-thiazolylmethyl]-1-methyl-2(1H)-quinolinone
406216-76-0P, Ethyl 4-(3-chlorophenyl)-1,2-dihydro-2-oxo-6-[(2-phenyl-1H-
imidazol-1-yl)(4-phenyl-2-thiazolyl)methyl]-3-quinolinecarboxylate
406216-77-1P, 4-(3-Chlorophenyl)-3-(hydroxymethyl)-6-[(2-phenyl-1H-
imidazol-1-yl)(4-phenyl-2-thiazolyl)methyl]-2(1H)-quinolinone
406216-82-8P, 6-[Chloro(3-furanyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-(3-
chlorophenyl)-1-methyl-2(1H)-quinolinone

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of quinolinone derivs. as *farnesyl*
transferase inhibitors for treatment of tumors and proliferative

diseases)
IT 131384-38-8, Protein **farnesyl** transferase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of quinoline derivs. as **farnesyl** transferase
inhibitors for treatment of tumors and proliferative diseases)
IT 51-17-2, Benzimidazole 288-47-1, Thiazole 498-60-2,
3-Furancarboxaldehyde 586-78-7, 1-Bromo-4-nitrobenzene 616-47-7,
1-Methyl-1H-imidazole 670-96-2, 2-Phenyl-1H-imidazole 1529-41-5,
3-Chlorobenzeneacetonitrile 1826-12-6, 4-Phenylthiazole 2403-53-4,
2-(4-Nitrophenyl)-1,3-dioxolane 36239-09-5, 3-Chloro-3-oxopropanoic acid
ethyl ester 39021-62-0, 1-Methyl-1H-imidazole-5-carboxaldehyde
147716-03-8, 1-Methyl-5-(tributylstannyl)-1H-imidazole 406216-47-5,
Bis(1-methyl-1H-imidazol-5-yl)methanone
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of quinolinone derivs. as **farnesyl**
transferase inhibitors for treatment of tumors and proliferative
diseases)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:240763 CAPLUS Full-text

DOCUMENT NUMBER: 136:279471

TITLE: Preparation of 6-heterocyclylmethyl quinoline and
quinazoline derivatives as **farnesyl**
transferase inhibitors for treatment of tumors and
proliferative diseases

INVENTOR(S): **Angibaud, Patrick Rene**; Venet, Marc Gaston;
Mevellec, Laurence Anne

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

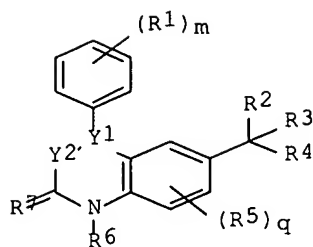
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

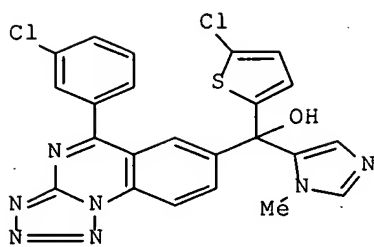
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024686	A2	20020328	WO 2001-EP10894	20010918
WO 2002024686	A3	20020613		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002020559	A5	20020402	AU 2002-20559	20010918
EP 1322650	A2	20030702	EP 2001-985254	20010918
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004509887	T	20040402	JP 2002-529096	20010918
US 2003207887	A1	20031106	US 2003-381361	20030324
PRIORITY APPLN. INFO.:			EP 2000-203368	A 20000925
			EP 2001-202190	A 20010607
			WO 2001-EP10894	W 20010918
OTHER SOURCE(S):	MARPAT 136:279471			

GI



I



II

AB Title compds. I [wherein m = independently 0-5; q = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxy(alkyl), aryl, (un)substituted amino or carbamoyl, etc.; R1 = azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R2 = (un)substituted mono- or bicyclic heterocyclic ring; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxy(alkyl), aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, **triazolyl**, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxy(alkyl), or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N:NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N:; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepared. For example, 2,2,2-trichloro-N-[2-(3-chlorobenzoyl)-4-[(5-chloro-2-thienyl)carbonyl]phenyl]acetamide (5-step preparation given) was cyclized with ammonium acetate in DMSO to give 4-(3-chlorophenyl)-6-[(5-chloro-2-thienyl)carbonyl]-2(1H)-**quinazolinone** (83.8%). Chlorination (88.4%), followed by addition of 1-methyl-1H-imidazole in the presence of BuLi and SiEt3Cl in THF, afforded the α -(1-methyl-1H-imidazol-5-yl)-6-**quinazolinemethanol**. Cycloaddn. with NaN3 in DMF gave the tetrazolo[1,5-a]**quinazoline**-7-methanol II (66%). I have potent **farnesyl** transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

IC ICM C07D409-14

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

ST **quinazoline** quinoline prepn **farnesyl** transferase inhibitor; quinoline **quinazoline** prepn antitumor antiproliferative

IT Antitumor agents

Cytotoxic agents

(preparation of quinoline and **quinazoline** derivs. as **farnesyl** transferase inhibitors for treatment of tumors and proliferative diseases)

IT Ras proteins

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(preparation of quinoline and **quinazoline** derivs. as

farnesyl transferase inhibitors for treatment of tumors and proliferative diseases)

- IT 406197-03-3P, 5-(3-Chlorophenyl)- α -(3-furanyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinoline-7-methanol
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(*farnesyl* transferase inhibitor; preparation of quinoline and *quinazoline* derivs. as *farnesyl* transferase inhibitors for treatment of tumors and proliferative diseases)
- IT 406196-99-4P, 5-(3-Chlorophenyl)- α -(5-chloro-2-thienyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]*quinazoline*-7-methanol
406197-02-2P, 4-(3-Chlorophenyl)-6-[(5-chloro-2-thienyl)hydroxy(4-methyl-4H-1,2,4-*triazol*-3-yl)methyl]-1-methyl-2(1H)-quinolinone
406197-06-6P, 5-(3-Chlorophenyl)- α -(3-furanyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinoline-7-methanamine 406197-10-2P,
4-(3-Chlorophenyl)-6-[hydroxy(1-methyl-1H-imidazol-5-yl)-6-quinolinylmethyl]-1-methyl-2(1H)-*quinazolinone* 406197-11-3P,
4-(3-Chlorophenyl)-6-[(5-chloro-2-thienyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2(1H)-*quinazolinone* 406197-12-4P,
4-(3-Chlorophenyl)-6-[(6-chloro-3-pyridinyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2(1H)-*quinazolinone* 406197-13-5P,
5-(3-Chlorophenyl)-7-[(1-methyl-1H-imidazol-5-yl)(2-phenyl-1H-imidazol-1-yl)methyl]tetrazolo[1,5-a]*quinazoline* 406197-14-6P,
5-(3-Chlorophenyl)- α -(5-chloro-2-thienyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinoline-7-methanol 406197-15-7P,
5-(3-Chlorophenyl)- α -(3-furanyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo-[1,5-a]*quinazoline*-7-methanol 406197-16-8P,
5-(3-Chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)- α -(6-quinolinyl)tetrazolo-[1,5-a]*quinazoline*-7-methanol
406197-17-9P, 5-(3-Chlorophenyl)- α -(6-chloro-3-pyridinyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinoline-7-methanol
406197-18-0P, 5-(3-Chlorophenyl)- α -(5-chloro-2-thienyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinoline-7-methanamine
406197-19-1P, 5-(3-Chlorophenyl)- α -(5-chloro-2-thienyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]*quinazoline*
-7-methanamine 406197-21-5P, α -(2-Benzofuranyl)-5-(3-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinoline-7-methanamine
406197-22-6P, 5-(3-Chlorophenyl)- α -(6-chloro-3-pyridinyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinoline-7-methanamine
406197-23-7P, 4-(3-Chlorophenyl)-6-[(5-chloro-2-thienyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-2(1H)-*quinazolinone*
406197-24-8P, 5-(3-Chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)- α -(5-methyl-2-thienyl)tetrazolo[1,5-a]quinoline-7-methanol
406197-26-0P, α -(2-Benzofuranyl)-5-(3-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]*quinazoline*
-7-methanamine 406197-28-2P, 5-(3-Chlorophenyl)-7-[(2-ethyl-1H-imidazol-1-yl)(1-methyl-1H-imidazol-5-yl)methyl]tetrazolo[1,5-a]*quinazoline*
406197-29-3P, 5-(3-Chlorophenyl)- α,α -bis(1-methyl-1H-imidazol-5-yl)tetrazolo-[1,5-a]*quinazoline*-7-methanol 406197-30-6P,
5-(3-Chlorophenyl)-7-[[2-(4-fluorophenyl)-1H-imidazol-1-yl](1-methyl-1H-imidazol-5-yl)methyl]tetrazolo[1,5-a]*quinazoline* 406197-31-7P,
 α -(Benzo[b]thien-2-yl)-5-(3-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinoline-7-methanamine 406197-32-8P,
5-(3-Chlorophenyl)-7-[(1-methyl-1H-imidazol-5-yl)(2-phenyl-1H-imidazol-1-yl)methyl]tetrazolo[1,5-a]quinoline 406197-33-9P, 5-(3-Chlorophenyl)-7-[[2-(2-chlorophenyl)-1H-imidazol-1-yl](1-methyl-1H-imidazol-5-

yl)methyl]tetrazolo[1,5-a]quinoline 406197-35-1P, 3-[1-[[5-(3-Chlorophenyl)tetrazolo[1,5-a]**quinazolin**-7-yl](1-methyl-1H-imidazol-5-yl)methyl]-1H-imidazol-2-yl]benzonitrile 406197-36-2P, 5-(3-Chlorophenyl)-7-[(2-ethyl-1H-imidazol-1-yl)(1-methyl-1H-imidazol-5-yl)methyl]tetrazolo[1,5-a]quinoline 406197-38-4P 406197-40-8P 406197-41-9P 406197-42-0P 406197-44-2P 406197-45-3P 406197-46-4P 406197-50-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(**farnesyl** transferase inhibitor; preparation of quinoline and **quinazoline** derivs. as **farnesyl** transferase

inhibitors for treatment of tumors and proliferative diseases)

IT 65247-25-8P, (2-Amino-5-bromophenyl)(3-chlorophenyl)methanone
190898-86-3P, 6-Bromo-4-(3-chlorophenyl)-2-methoxyquinoline
280143-23-9P, 6-Bromo-2-chloro-4-(3-chlorophenyl)quinoline 288391-74-2P,
6-Bromo-4-(3-chlorophenyl)-2(1H)-quinolinone 288391-98-0P,
[4-(3-Chlorophenyl)-2-methoxy-6-quinolinyl](5-chloro-2-thienyl)methanone
288391-99-1P, 4-(3-Chlorophenyl)-6-[(5-chloro-2-thienyl)carbonyl]-2(1H)-
quinolinone 288392-00-7P, 4-(3-Chlorophenyl)-6-[(5-chloro-2-
thienyl)carbonyl]-1-methyl-2(1H)-quinolinone 406161-71-5P,
5-Bromo-3-(3-chlorophenyl)-2,1-benzisoxazole 406161-72-6P,
N-[4-Bromo-2-(3-chlorobenzoyl)phenyl]acetamide 406161-78-2P,
4-(3-Chlorophenyl)-2-methoxy-6-quinolinecarboxaldehyde 406196-63-2P,
[3-(3-Chlorophenyl)-2,1-benzisoxazol-5-yl](5-chloro-2-thienyl)methanone
406196-65-4P, [4-Amino-3-(3-chlorobenzoyl)phenyl](5-chloro-2-
thienyl)methanone 406196-67-6P, 2,2,2-Trichloro-N-[2-(3-chlorobenzoyl)-4-
[(5-chloro-2-thienyl)carbonyl]phenyl]acetamide 406196-68-7P,
4-(3-Chlorophenyl)-6-[(5-chloro-2-thienyl)carbonyl]-2(1H)-
quinazolinone 406196-69-8P, [2-Chloro-4-(3-chlorophenyl)-6-
quinazolinyl](5-chloro-2-thienyl)methanone 406196-70-1P,
2-Chloro-4-(3-chlorophenyl)- α -(5-chloro-2-thienyl)- α -(1-methyl-
1H-imidazol-5-yl)-6-**quinazolinemethanol** 406196-72-3P,
4-(3-Chlorophenyl)- α -(5-chloro-2-thienyl)-2-methoxy-6-
quinolinemethanol 406196-74-5P 406196-76-7P, 2-Chloro-4-(3-
chlorophenyl)- α -(3-furanyl)-6-quinolinemethanol 406196-77-8P,
[2-Chloro-4-(3-chlorophenyl)-6-quinolinyl]-3-furanylmethanone
406196-78-9P, 2-Chloro-4-(3-chlorophenyl)- α -(3-furanyl)- α -(1-
methyl-1H-imidazol-5-yl)-6-quinolinemethanol 406196-80-3P,
 α -[3-(3-Chlorophenyl)-2,1-benzisoxazol-5-yl]-6-quinolinemethanol
406196-81-4P, [3-(3-Chlorophenyl)-2,1-benzisoxazol-5-yl]-6-
quinolinylmethanone 406196-82-5P, [4-Amino-3-(3-chlorobenzoyl)phenyl]-6-
quinolinylmethanone 406196-83-6P, 2,2,2-Trichloro-N-[2-(3-chlorobenzoyl)-
4-(6-quinolinylcarbonyl)phenyl]acetamide 406196-84-7P,
4-(3-Chlorophenyl)-6-(6-quinolinylcarbonyl)-2(1H)-**quinazolinone**
406196-85-8P, [2-Chloro-4-(3-chlorophenyl)-6-**quinazolinyl**
]-6-quinolinylmethanone 406196-86-9P, 2-Chloro-4-(3-chlorophenyl)-
 α -(1-methyl-1H-imidazol-5-yl)- α -(6-quinolinyl)-6-
quinazolinemethanol 406196-87-0P, 3-(3-Chlorophenyl)- α -(6-
chloro-3-pyridinyl)- α -(1-methyl-1H-imidazol-5-yl)-2,1-benzisoxazole-
5-methanol 406196-88-1P, [2-Amino-5-[(6-chloro-3-pyridinyl)hydroxy(1-
methyl-1H-imidazol-5-yl)methyl]phenyl](3-chlorophenyl)methanone
406196-89-2P, 2,2,2-Trichloro-N-[2-(3-chlorobenzoyl)-4-[(6-chloro-3-
pyridinyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]phenyl]acetamide
406196-90-5P, N-[4-Bromo-2-(3-chlorobenzoyl)phenyl]-2,2,2-
trichloroacetamide 406196-91-6P, 6-Bromo-4-(3-chlorophenyl)-2(1H)-
quinazolinone 406196-92-7P, 6-Bromo-2-chloro-4-(3-chlorophenyl)
quinazoline 406196-93-8P, 4-(3-Chlorophenyl)-N,2-dimethoxy-N-
methyl-6-**quinazolinecarboxamide** 406196-94-9P,

2-Chloro-4-(3-chlorophenyl)-N-methoxy-N-methyl-6-
quinazolinecarboxamide 406196-95-0P, [2-Chloro-4-(3-
chlorophenyl)-6-**quinazolinyl**](1-methyl-1H-imidazol-5-
yl)methanone 406196-96-1P, 2-Chloro-4-(3-chlorophenyl)- α -(1-methyl-
1H-imidazol-5-yl)-6-**quinazolinemethanol** 406196-97-2P,
5-(3-Chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]
quinazoline-7-methanol 406197-04-4P, 7-[Chloro-3-furanyl(1-
methyl-1H-imidazol-5-yl)methyl]-5-(3-chlorophenyl)tetrazolo[1,5-
a]quinoline 406197-08-8P, 4-(3-Chlorophenyl)-6-[hydroxy(1-methyl-1H-
imidazol-5-yl)-6-quinolinylmethyl]-2(1H)-**quinazolinone**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(intermediate; preparation of quinoline and **quinazoline** derivs. as
farnesyl transferase inhibitors for treatment of tumors and
proliferative diseases)

IT 131384-38-8, Protein **farnesyl** transferase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of quinoline and **quinazoline** derivs. as
farnesyl transferase inhibitors for treatment of tumors and
proliferative diseases)

IT 96-43-5, 2-Chlorothiophene 498-60-2, 3-Furancarboxaldehyde 586-78-7,
1-Bromo-4-nitrobenzene 616-47-7, 1-Methyl-1H-imidazole 670-96-2,
2-Phenyl-1H-imidazole 1529-41-5, 3-Chlorobenzeneacetonitrile
4113-04-6, 6-Quinolinecarboxaldehyde 7283-96-7, 5-Chloro-2-
thiophenecarboxaldehyde 24854-43-1, 2,4-Dihydro-4-methyl-3H-1,2,4-
triazole-3-thione 288392-09-6, (6-Chloro-3-pyridinyl)(1-methyl-
1H-imidazol-5-yl)methanone 406197-01-1, 7-[Chloro(1-methyl-1H-imidazol-5-
yl)methyl]-5-(3-chlorophenyl)tetrazolo[1,5-a]**quinazoline**
hydrochloride

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of quinoline and **quinazoline** derivs. as
farnesyl transferase inhibitors for treatment of tumors and
proliferative diseases)

L25 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:240760 CAPLUS Full-text

DOCUMENT NUMBER: 136:279470

TITLE: Preparation of 6-[(substituted phenyl)methyl]quinoline
and **quinazoline** derivatives as
farnesyl transferase inhibitors for treatment
of tumors and proliferative diseases

INVENTOR(S): **Angibaud, Patrick Rene**; Venet, Marc Gaston;
Saha, Ashis Kumar; Mevellec, Laurence Anne

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024683	A1	20020328	WO 2001-EP10895	20010918
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

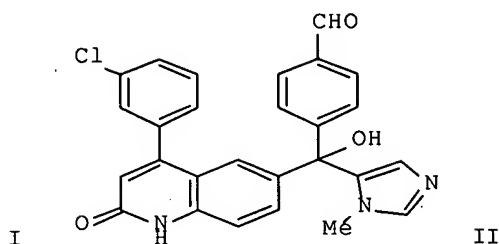
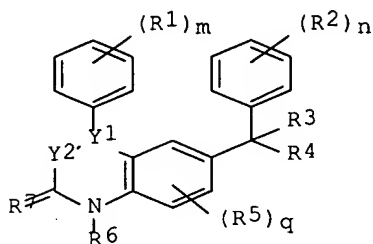
AU 200193829	A	20020402	AU 2001-93829	20010918
EP 1322636	A1	20030702	EP 2001-974276	20010918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509884	T	20040402	JP 2002-529093	20010918
US 2004048882	A1	20040311	US 2003-381556	20030324
US 7173040	B2	20070206		

PRIORITY APPLN. INFO.:

EP 2000-203366	A	20000925
WO 2001-EP10895	W	20010918

OTHER SOURCE(S): MARPAT 136:279470

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AB Title compds. I [wherein m and n = independently 0-5; q = 0-3; Y1Y2 = C:N, C:CR9, CHNR9, or CHCHR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxy carbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 and R2 = independently azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or 2 adjacent R1 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxy carbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxy carbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R6 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; R7 = O or S; or R6R7 = (un)substituted CH:CHN:, CH:NN:, CONHN:, N:NN:, N:CHN:, CH:CHCH:, CH:NCH:, CONHCH:, N:NCH:, or CH2(CH2)0-1CH2N: ; or pharmaceutically acceptable salts, N-oxides, or stereochem. isomeric forms thereof] were prepared For example, 6-bromo-2-chloro-4-(3-chlorophenyl)quinoline (6-step preparation given) was coupled with 4-(diethoxymethyl)benzaldehyde in the presence of BuLi in THF to give the 6-quinolinemethanol (64%), which was treated with MnO2 in 1,4-dioxane to afford the methanone. Methoxylation using MeONa in MeOH (74%), followed by addition of 1-methyl-1H-imidazole in the presence of BULi and ClSiEt3 in THF, gave 4-(3-chlorophenyl)- α -[4-(diethoxymethyl)phenyl]-2-methoxy- α -(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol (56%). The latter was refluxed in HCl for 24 h, cooled, poured out into H2O, and stirred at room temperature for 1 h to afford the quinolinone II•HCl (98%). I have potent *farnesyl* transferase inhibitory effect and are useful for inhibiting

proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

- IC ICM C07D401-06
ICS A61K031-47; A61P043-00; C07D401-14; C07D487-04; C07D405-14;
C07D403-06; C07D409-14; C07D413-14; C07D417-14; C07D487-04;
C07D257-00; C07D239-00
- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
- ST **quinazoline** quinoline prepn **farnesyl** transferase
inhibitor; quinoline **quinazoline** prepn antitumor
antiproliferative
- IT Antitumor agents
Cytotoxic agents
(preparation of quinoline and **quinazoline** derivs. as
farnesyl transferase inhibitors for treatment of tumors and
proliferative diseases)
- IT Ras proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of quinoline and **quinazoline** derivs. as
farnesyl transferase inhibitors for treatment of tumors and
proliferative diseases)
- IT 406162-40-1P, 4-[[4-(3-Chlorophenyl)-1,2-dihydro-2-oxo-6-
quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzaldehyde
monohydrochloride 406162-42-3P, 4-[[4-(3-Chlorophenyl)-1,2-dihydro-2-oxo-6-
quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzaldehyde oxime
406162-43-4P, 4-[[4-(3-Chlorophenyl)-1,2-dihydro-2-oxo-6-
quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzoic acid
monohydrochloride 406162-44-5P, 4-(3-Chlorophenyl)-6-[hydroxy(1-methyl-
1H-imidazol-5-yl)(4-nitrophenyl)methyl]-1-methyl-2(1H)-quinolinone
406162-46-7P, 4-[[4-(3-Chlorophenyl)-1,2-dihydro-1-methyl-2-oxo-6-
quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzaldehyde
406162-47-8P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(4-methyl-4H-1,2,4-
triazol-3-yl)methyl]-1-methyl-2(1H)-quinolinone 406162-50-3P,
4-(3-Chlorophenyl)-6-[hydroxy[4-(hydroxymethyl)phenyl](1-methyl-1H-
imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone 406162-53-6P,
1-Methylethyl 4-[[4-(3-chlorophenyl)-1,2-dihydro-1-methyl-2-oxo-6-
quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzoate
406162-54-7P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(4-methyl-4H-
1,2,4-**triazol**-3-yl)methyl]-1-methyl-2(1H)-quinolinone
406162-55-8P, 6-[[4-(Chloromethyl)phenyl]hydroxy(1-methyl-1H-imidazol-5-
yl)methyl]-4-(3-chlorophenyl)-1-methyl-2(1H)-quinolinone 406162-60-5P,
4-[[4-(3-Chlorophenyl)-1,2-dihydro-1-methyl-2-oxo-6-quinolinyl]hydroxy(1-
methyl-1H-imidazol-5-yl)methyl]benzoic acid 406162-85-4P,
4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(4-methyl-4H-1,2,4-
triazol-3-yl)methyl]-2(1H)-quinolinone 406162-90-1P,
 α -[5-(3-Chlorophenyl)tetrazolo[1,5-a]**quinazolin**
-7-yl]- α -(1-methyl-1H-imidazol-5-yl)-1,4-benzenedimethanol
406162-93-4P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(4-chloro-3-
pyridinyl)hydroxymethyl]-1-methyl-2(1H)-quinolinone 406162-95-6P
406163-00-6P, 6-[Amino[4-(aminomethyl)phenyl](1-methyl-1H-imidazol-5-
yl)methyl]-4-(3-chlorophenyl)-1-methyl-2(1H)-quinolinone
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(**farnesyl** transferase inhibitor; preparation of quinoline and
quinazoline derivs. as **farnesyl** transferase
inhibitors for treatment of tumors and proliferative diseases)
- IT 406162-41-2P, 4-[[4-(3-Chlorophenyl)-1,2-dihydro-2-oxo-6-
quinolinyl]ethoxy(1-methyl-1H-imidazol-5-yl)methyl]benzaldehyde oxime
406162-45-6P, Methyl 4-[[4-(3-chlorophenyl)-1,2-dihydro-2-oxo-6-

quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzoate
 406162-49-0P, 6-[Amino(1-methyl-1H-imidazol-5-yl)(4-nitrophenyl)methyl]-4-
 (3-chlorophenyl)-1-methyl-2(1H)-quinolinone 406162-51-4P,
 6-[(4'-Chloro[1,1'-biphenyl]-4-yl)hydroxy(1-methyl-1H-imidazol-5-
 yl)methyl]-4-(3-chlorophenyl)-1-methyl-2(1H)-quinolinone 406162-52-5P,
 4-(3-Chlorophenyl)-6-[hydroxy[4-[(2-methoxyethyl)amino]methyl]phenyl](1-
 methyl-1H-imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone 406162-56-9P,
 4-[[4-(3-Chlorophenyl)-1,2-dihydro-1-methyl-2-oxo-6-quinolinyl]hydroxy(1-
 methyl-1H-imidazol-5-yl)methyl]benzeneacetonitrile 406162-57-0P,
 4-(3-Chlorophenyl)-6-[hydroxy[4-(methoxymethyl)phenyl](1-methyl-1H-
 imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone 406162-58-1P,
 4-(3-Chlorophenyl)-6-[hydroxy[4-(1-hydroxyethyl)phenyl](1-methyl-1H-
 imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone 406162-59-2P,
 4-(3-Chlorophenyl)-6-[hydroxy[4-(1H-imidazol-1-yl)methyl]phenyl](1-methyl-
 1H-imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone 406162-61-6P,
 5-(3-Chlorophenyl)-7-[(4-chlorophenyl)(4-methyl-4H-1,2,4-**triazol**
 -3-yl)methyl]tetrazolo[1,5-a]**quinazoline** 406162-62-7P,
 4-[[4-(3-Chlorophenyl)-1,2-dihydro-1-methyl-2-oxo-6-quinolinyl]hydroxy(1-
 methyl-1H-imidazol-5-yl)methyl]-N-(3-pyridinyl)benzamide 406162-63-8P,
 6-[Amino(4-chlorophenyl)(4-methyl-4H-1,2,4-**triazol**
 -3-yl)methyl]-4-(3-chlorophenyl)-1-methyl-2(1H)-quinolinone
 406162-64-9P, 4-(3-Chlorophenyl)-6-[hydroxy(1-methyl-1H-imidazol-5-yl)[4-
 (1H-tetrazol-1-yl)methyl]phenyl]methyl]-1-methyl-2(1H)-quinolinone
 406162-65-0P, 4-(3-Chlorophenyl)-6-[[4-(ethoxymethyl)phenyl]hydroxy(1-
 methyl-1H-imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone 406162-66-1P,
 4-(3-Chlorophenyl)-6-[hydroxy(1-methyl-1H-imidazol-5-yl)[4-[(2-
 thiazolylthio)methyl]phenyl]methyl]-1-methyl-2(1H)-quinolinone
 406162-69-4P, 4-[[5-(3-Chlorophenyl)tetrazolo[1,5-a]**quinazolin**
 -7-yl](4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]benzonitrile
 406162-71-8P, 5-(3-Chlorophenyl)- α -(4-iodophenyl)- α -[4-methyl-
 5-(methylthio)-4H-1,2,4-**triazol**-3-yl]tetrazolo[1,5-a]
quinazoline-7-methanol 406162-77-4P, 5-(3-Chlorophenyl)- α -
 (4-chlorophenyl)- α -(4-methyl-4H-1,2,4-**triazol**
 -3-yl)tetrazolo[1,5-a]**quinazoline**-7-methanamine 406162-82-1P,
 4-[Amino[5-(3-chlorophenyl)tetrazolo[1,5-a]**quinazolin**
 -7-yl](4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]benzonitrile
 406162-83-2P, 4-(3-Chlorophenyl)-6-[(2,3-dihydro-1,4-benzodioxin-6-
 yl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2(1H)-**quinazolinone**
 406162-84-3P, 6-[2-Chloro-1-(4-chlorophenyl)-1-(4-methyl-4H-1,2,4-
triazol-3-yl)ethyl]-4-(3-chlorophenyl)-1-methyl-2(1H)-quinolinone
 406162-86-5P, 4-[[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(4-methyl-
 4H-1,2,4-**triazol**-3-yl)methyl]-2-oxo-1(2H)-
 quinolinyl]methyl]benzonitrile 406162-87-6P, 4-(3-Chlorophenyl)-6-[1-(4-
 chlorophenyl)-1-(4-methyl-4H-1,2,4-**triazol**-3-yl)ethyl]-1-methyl-
 2(1H)-quinolinone 406162-88-7P, 4-(3-Chlorophenyl)-6-[1-(4-chlorophenyl)-
 1-(4-methyl-4H-1,2,4-**triazol**-3-yl)ethyl]-1-methyl-2(1H)-
 quinolinone ethanedioate 406162-89-8P, Ethyl 5-(3-chlorophenyl)-7-[(4-
 chlorophenyl)(4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]imidazo[1,2-
 a]quinoline-2-carboxylate 406162-91-2P, 6-[[4-
 [(Butylamino)methyl]phenyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-4-(3-
 chlorophenyl)-1-methyl-2(1H)-quinolinone 406162-92-3P,
 4-[[5-(3-Chlorophenyl)tetrazolo[1,5-a]**quinazolin**
 -7-yl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzaldehyde
 406162-96-7P, 6-[Amino(4-chlorophenyl)(4-methoxy-3-pyridinyl)methyl]-4-(3-
 chlorophenyl)-1-methyl-2(1H)-quinolinone 406163-01-7P,
 6-[(4-Amino-3-pyridinyl)(4-chlorophenyl)hydroxymethyl]-4-(3-chlorophenyl)-
 1-methyl-2(1H)-quinolinone 406163-02-8P, 4-(3-Chlorophenyl)-6-[(4-
 chlorophenyl)hydroxy(4-methyl-3-pyridinyl)methyl]-1-methyl-2(1H)-
 quinolinone 406163-03-9P, N-[[4-[Amino[4-(3-chlorophenyl)-1,2-dihydro-1-

methyl-2-oxo-6-quinolinyl] (1-methyl-1H-imidazol-5-yl)methyl]phenyl]methyl]methanesulfonamide 406163-05-1P,
 4-(3-Chlorophenyl)-6-[hydroxy[3-(hydroxymethyl)phenyl] (1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone 406163-06-2P,
 5-(3-Chlorophenyl)-4,5-dihydro- α -(4-iodophenyl)- α -(4-methyl-4H-1,2,4-triazol-3-yl)tetrazolo[1,5-a]quinazoline
 -7-methanol 406163-07-3P, 4-[[4-(3-Chlorophenyl)-1,2-dihydro-2-oxo-6-quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzaldehyde
 406163-08-4P, 4-[[4-(3-Chlorophenyl)-1,2-dihydro-1-methyl-2-oxo-6-quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzaldehyde
 O-methyloxime 406163-09-5P, N-[[4-[[4-(3-Chlorophenyl)-1,2-dihydro-1-methyl-2-oxo-6-quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]phenyl]methyl]phenylalanine methyl ester 406163-10-8P,
 4-[[5-(3-Chlorophenyl)tetrazolo[1,5-a]quinazolin-7-yl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzeneacetonitrile
 406163-11-9P 406163-12-0P 406163-13-1P 406163-14-2P 406163-15-3P
 406163-16-4P 406163-17-5P 406163-18-6P 406163-19-7P 406163-20-0P
 406163-21-1P 406163-22-2P 406163-23-3P 406163-24-4P 406163-25-5P
 406163-26-6P 406163-27-7P 406163-28-8P 406163-29-9P 406163-30-2P
 406163-31-3P 406163-32-4P 406163-33-5P 406163-34-6P 406163-35-7P
 406163-36-8P 406163-37-9P 406163-38-0P 406163-39-1P 406163-40-4P
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 406163-56-2P 406163-57-3P 406163-58-4P 406163-59-5P 406163-60-8P
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 406163-66-4P 406163-67-5P 406163-68-6P 406163-69-7P 406163-70-0P
 406163-71-1P 406163-72-2P 406163-73-3P 406163-74-4P 406163-75-5P
 406163-76-6P 406163-77-7P 406163-78-8P 406163-79-9P 406163-80-2P
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 406163-97-1P 406163-98-2P 406163-99-3P 406164-00-9P 406164-01-0P
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 406164-07-6P 406164-08-7P 406164-09-8P 406164-10-1P 406164-11-2P
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 406164-32-7P 406164-33-8P 406164-34-9P 406164-35-0P 406164-36-1P
 406164-37-2P 406164-38-3P 406164-39-4P 406164-40-7P 406164-41-8P
 406164-42-9P 406164-43-0P 406164-44-1P 406164-45-2P 406164-46-3P
 406164-47-4P 406164-48-5P 406164-49-6P 406164-50-9P 406164-51-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(farnesyl transferase inhibitor; preparation of quinoline and quinazoline derivs. as farnesyl transferase

inhibitors for treatment of tumors and proliferative diseases)

IT 65247-25-8P 190898-86-3P, 6-Bromo-4-(3-chlorophenyl)-2-methoxyquinoline
 280143-19-3P 280143-23-9P, 6-Bromo-2-chloro-4-(3-chlorophenyl)quinoline
 280144-86-7P, [2-Chloro-4-(3-chlorophenyl)-6-quinolinyl] (4-chlorophenyl)methanone hydrochloride 288391-74-2P, 6-Bromo-4-(3-chlorophenyl)-2(1H)-quinolinone 406161-71-5P, 5-Bromo-3-(3-chlorophenyl)-2,1-benzisoxazole 406161-72-6P 406161-74-8P 406161-75-9P,
 [2-Chloro-4-(3-chlorophenyl)-6-quinolinyl] [4-(diethoxymethyl)phenyl]methanone 406161-76-0P, [4-(3-Chlorophenyl)-2-methoxy-6-quinolinyl] [4-(diethoxymethyl)phenyl]methanone 406161-77-1P
 406161-78-2P, 4-(3-Chlorophenyl)-2-methoxy-6-quinolinecarboxaldehyde
 406161-79-3P, 4-(3-Chlorophenyl)- α -[4-(4,5-dihydro-4,4-dimethyl-2-

oxazolyl)phenyl]-2-methoxy-6-quinolinemethanol 406161-80-6P,
 [4-(3-Chlorophenyl)-2-methoxy-6-quinolinyl][4-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)phenyl]methanone 406161-81-7P, 4-(3-Chlorophenyl)- α -[4-(4,5-dihydro-4,4-dimethyl-2-oxazolyl)phenyl]-2-methoxy- α -(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol 406161-82-8P, 4-(3-Chlorophenyl)-3,4-dihydro-6-(4-nitrobenzoyl)-2(1H)-quinolinone 406161-83-9P, 4-(3-Chlorophenyl)-6-(4-nitrobenzoyl)-2(1H)-quinolinone 406161-84-0P, 4-(3-Chlorophenyl)-1-methyl-6-(4-nitrobenzoyl)-2(1H)-quinolinone 406161-85-1P, [4-(3-Chlorophenyl)-2-methoxy-6-quinolinyl](4-iodophenyl)methanone 406161-86-2P, 4-(3-Chlorophenyl)-6-(4-iodobenzoyl)-2(1H)-quinolinone 406161-87-3P, 4-(3-Chlorophenyl)-6-(4-iodobenzoyl)-1-methyl-2(1H)-quinolinone 406161-88-4P, 4-(3-Chlorophenyl)-6-[hydroxy(4-iodophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone 406161-89-5P 406161-90-8P 406161-91-9P 406161-92-0P 406161-93-1P 406161-94-2P 406161-95-3P 406161-96-4P 406161-97-5P 406161-98-6P 406161-99-7P 406162-00-3P, [3-(3-Chlorophenyl)-2,1-benzisoxazol-5-yl](4-iodophenyl)methanone 406162-01-4P, [4-Amino-3-(3-chlorobenzoyl)phenyl](4-iodophenyl)methanone 406162-02-5P, 2,2,2-Trichloro-N-[2-(3-chlorobenzoyl)-4-(4-iodobenzoyl)phenyl]acetamide 406162-03-6P, 4-(3-Chlorophenyl)-6-(4-iodobenzoyl)-2(1H)-**quinazolinone** 406162-04-7P, [2-Chloro-4-(3-chlorophenyl)-6-**quinazolinyl**](4-iodophenyl)methanone 406162-05-8P, 2-Chloro-4-(3-chlorophenyl)- α -(4-iodophenyl)-6-**quinazolineacetonitrile** 406162-06-9P, [4-(3-Chlorophenyl)-2-ethoxy-6-**quinazolinyl**](4-iodophenyl)methanone 406162-07-0P, 4-(3-Chlorophenyl)-2-hydrazino- α -(4-iodophenyl)-6-**quinazolineacetonitrile** 406162-08-1P, 5-(3-Chlorophenyl)- α -(4-iodophenyl)tetrazolo[1,5-a]**quinazoline**-7-acetonitrile 406162-09-2P, Ethyl 5-(3-chlorophenyl)- α -(4-iodophenyl)tetrazolo[1,5-a]**quinazoline**-7-acetate 406162-10-5P, 5-(3-Chlorophenyl)- α -(4-iodophenyl)tetrazolo[1,5-a]**quinazoline**-7-acetic acid lithium salt 406162-11-6P, 2-[(Methylamino)thioxomethyl]hydrazide-5-(3-chlorophenyl)- α -(4-iodophenyl)tetrazolo[1,5-a]**quinazoline**-7-acetic acid 406162-12-7P, [5-(3-Chlorophenyl)tetrazolo[1,5-a]**quinazolin**-7-yl](4-iodophenyl)methanone 406162-13-8P, (4-Chlorophenyl)[5-(3-chlorophenyl)tetrazolo[1,5-a]**quinazolin**-7-yl]methanone 406162-14-9P, 3-(3-Chlorophenyl)- α -(2,3-dihydro-1,4-benzodioxin-6-yl)-2,1-benzisoxazole-5-methanol 406162-15-0P, [3-(3-Chlorophenyl)-2,1-benzisoxazol-5-yl](2,3-dihydro-1,4-benzodioxin-6-yl)methanone 406162-16-1P, [4-Amino-3-(3-chlorobenzoyl)phenyl](2,3-dihydro-1,4-benzodioxin-6-yl)methanone 406162-17-2P, 2,2,2-Trichloro-N-[2-(3-chlorobenzoyl)-4-[(2,3-dihydro-1,4-benzodioxin-6-yl)carbonyl]phenyl]acetamide 406162-18-3P, 4-(3-Chlorophenyl)-6-[(2,3-dihydro-1,4-benzodioxin-6-yl)carbonyl]-2(1H)-**quinazolinone** 406162-19-4P, [2-Chloro-4-(3-chlorophenyl)-6-**quinazolinyl**](2,3-dihydro-1,4-benzodioxin-6-yl)methanone 406162-20-7P, 2-Chloro-4-(3-chlorophenyl)- α -(2,3-dihydro-1,4-benzodioxin-6-yl)- α -(1-methyl-1H-imidazol-5-yl)-6-**quinazolinemethanol** 406162-21-8P, (4-Chlorophenyl)[4-(3-chlorophenyl)-2-methoxy-6-quinolinyl]methanone 406162-22-9P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)- α -(5-mercapto-4-methyl-4H-1,2,4-**triazol**-3-yl)-2-methoxy-6-quinolinemethanol 406162-23-0P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)-2-methoxy- α -(4-methyl-4H-1,2,4-**triazol**-3-yl)-6-quinolinemethanol 406162-24-1P, 5-(3-Chlorophenyl)- α -(4-chlorophenyl)tetrazolo[1,5-a]quinoline-7-acetonitrile 406162-25-2P, 5-(3-Chlorophenyl)- α -(4-chlorophenyl)tetrazolo[1,5-a]quinoline-7-acetic acid 406162-26-3P,

2-[(Methylamino)thioxomethyl]hydrazide-5-(3-chlorophenyl)- α -(4-chlorophenyl)tetrazolo[1,5-a]quinoline-7-acetic acid 406162-27-4P,
 5-(3-Chlorophenyl)-7-[(4-chlorophenyl)[4-methyl-5-(methylthio)-4H-1,2,4-**triazol**-3-yl]methyl]tetrazolo[1,5-a]quinoline 406162-28-5P,
 5-(3-Chlorophenyl)-7-[(4-chlorophenyl)(4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]tetrazolo[1,5-a]quinoline 406162-29-6P,
 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)[4-methyl-5-(methylthio)-4H-1,2,4-**triazol**-3-yl]methyl]-2-quinolinamine 406162-30-9P,
 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]-2-quinolinamine 406162-31-0P, 4-(3-Chlorophenyl)-2-ethoxy- α -(4-iodophenyl)- α -(1-methyl-1H-imidazol-5-yl)-6-**quinazolinemethanol** 406162-32-1P, 1-Methylethyl
 4-[[4-(3-chlorophenyl)-2-ethoxy-6-**quinazolinyl**]
]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzoate 406162-33-2P,
 1-Methylethyl 4-[[2-chloro-4-(3-chlorophenyl)-6-**quinazolinyl**
]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzoate 406162-34-3P,
 α -[2-Chloro-4-(3-chlorophenyl)-6-quinazolinyl
]- α -(1-methyl-1H-imidazol-5-yl)-1,4-benzenedimethanol
 406162-35-4P, N-[3-[(4-Chlorophenyl)[4-(3-chlorophenyl)-1,2-dihydro-1-methyl-2-oxo-6-quinolinyl]hydroxymethyl]-4-pyridinyl]-2,2-dimethylpropanamide 406162-36-5P, [4-(3-Chlorophenyl)-2-methoxy-6-quinolinyl](3-iodophenyl)methanone 406162-37-6P, 4-(3-Chlorophenyl)-6-(3-iodobenzoyl)-2(1H)-quinolinone 406162-38-7P, 4-(3-Chlorophenyl)-6-(3-iodobenzoyl)-1-methyl-2(1H)-quinolinone 406162-39-8P,
 4-(3-Chlorophenyl)-6-[hydroxy(3-iodophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-1-methyl-2(1H)-quinolinone 406162-48-9P,
 6-[Chloro(1-methyl-1H-imidazol-5-yl)(4-nitrophenyl)methyl]-4-(3-chlorophenyl)-1-methyl-2(1H)-quinolinone monohydrochloride 406162-67-2P,
 5-[[5-(3-Chlorophenyl)tetrazolo[1,5-a]**quinazolin**
 -7-yl](4-iodophenyl)methyl]-4-methyl-4H-1,2,4-**triazole**-3-thiol
 406162-68-3P, 5-(3-Chlorophenyl)-7-[(4-iodophenyl)(4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]tetrazolo[1,5-a]**quinazoline**
 406162-70-7P, 5-(3-Chlorophenyl)- α -(4-iodophenyl)- α -(5-mercapto-4-methyl-4H-1,2,4-**triazol**-3-yl)tetrazolo[1,5-a]
quinazoline-7-methanol 406162-72-9P, 5-(3-Chlorophenyl)- α -(4-chlorophenyl)- α -(5-mercapto-4-methyl-4H-1,2,4-**triazol**-3-yl)tetrazolo[1,5-a]**quinazoline**-7-methanol 406162-73-0P,
 5-(3-Chlorophenyl)- α -(4-chlorophenyl)- α -[4-methyl-5-(methylthio)-4H-1,2,4-**triazol**-3-yl]tetrazolo[1,5-a]
quinazoline-7-methanol 406162-74-1P, 7-[Chloro(4-chlorophenyl)[4-methyl-5-(methylthio)-4H-1,2,4-**triazol**-3-yl]methyl]-5-(3-chlorophenyl)tetrazolo[1,5-a]**quinazoline** monohydrochloride
 406162-76-3P, 5-(3-Chlorophenyl)- α -(4-chlorophenyl)- α -[4-methyl-5-(methylthio)-4H-1,2,4-**triazol**-3-yl]tetrazolo[1,5-a]
quinazoline-7-methanamine 406162-78-5P, 5-(3-Chlorophenyl)- α -(4-iodophenyl)- α -(4-methyl-4H-1,2,4-**triazol**-3-yl)tetrazolo[1,5-a]**quinazoline**-7-methanol 406162-79-6P,
 7-[Chloro(4-iodophenyl)(4-methyl-4H-1,2,4-**triazol**-3-yl)methyl]-5-(3-chlorophenyl)tetrazolo[1,5-a]**quinazoline**
 monohydrochloride 406162-81-0P, 5-(3-Chlorophenyl)- α -(4-iodophenyl)- α -(4-methyl-4H-1,2,4-**triazol**-3-yl)tetrazolo[1,5-a]**quinazoline**-7-methanamine 406162-94-5P,
 6-[Chloro(4-chlorophenyl)(4-chloro-3-pyridinyl)methyl]-4-(3-chlorophenyl)-1-methyl-2(1H)-quinolinone monohydrochloride 406162-97-8P,
 4-[[4-(3-Chlorophenyl)-1,2-dihydro-2-oxo-6-quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzonitrile 406162-98-9P, 4-[[4-(3-Chlorophenyl)-1,2-dihydro-1-methyl-2-oxo-6-quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzonitrile 406162-99-0P, 4-[Amino[4-(3-chlorophenyl)-1,2-

dihydro-1-methyl-2-oxo-6-quinolinyl)(1-methyl-1H-imidazol-5-yl)methyl]benzonitrile 406163-04-0P, 1-Methylethyl 3-[[4-(3-chlorophenyl)-1,2-dihydro-1-methyl-2-oxo-6-quinolinyl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]benzoate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinoline and **quinazoline** derivs. as **farnesyl** transferase inhibitors for treatment of tumors and proliferative diseases)

IT 131384-38-8, Protein **farnesyl** transferase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of quinoline and **quinazoline** derivs. as **farnesyl** transferase inhibitors for treatment of tumors and proliferative diseases)

IT 62-23-7, 4-Nitrobenzoic acid 109-73-9, 1-Butanamine, reactions
109-85-3, 2-Methoxyethanamine 288-32-4, 1H-Imidazole, reactions
288-94-8, 1H-Tetrazole 462-08-8, 3-Pyridinamine 586-78-7,
1-Bromo-4-nitrobenzene 616-47-7, 1-Methyl-1H-imidazole 1529-41-5,
3-Chlorobenzeneacetone nitrile 1679-18-1, (4-Chlorophenyl)boronic acid
3430-22-6, 3-Bromo-4-methylpyridine 5685-05-2, 2(3H)-Thiazolethione
7379-35-3, 4-Chloropyridine hydrochloride 10564-55-3,
1-[(Isocyanomethyl)sulfonyl]-4-methylbenzene 17201-43-3,
4-(Bromomethyl)benzonitrile 24854-43-1, 2,4-Dihydro-4-methyl-3H-1,2,4-
triazole-3-thione 29668-44-8, 2,3-Dihydro-1,4-benzodioxan-6-
carboxaldehyde 32664-14-5, 2-(4-Bromophenyl)-4,5-dihydro-4,4-
dimethyloxazole 70298-89-4, 2,2-Dimethyl-N-[4-pyridinyl]propanamide
81172-89-6, 4-(Diethoxymethyl)benzaldehyde 170282-53-8,
3-Iodo-N-methoxy-N-methylbenzamide 187617-01-2, 4-Iodo-N-methoxy-N-
methylbenzamide 192187-30-7, 4-(3-Chlorophenyl)-3,4-dihydro-2(1H)-
quinolinone 192187-32-9, 6-(4-Chlorobenzoyl)-4-(3-chlorophenyl)-2(1H)-
quinolinone 192187-33-0, 6-(4-Chlorobenzoyl)-4-(3-chlorophenyl)-1-methyl-
2(1H)-quinolinone 215034-82-5, 6-(4-Chlorobenzoyl)-4-(3-chlorophenyl)-
2(1H)-**quinazolinone** 280143-26-2, (4-Chlorophenyl)[5-(3-
chlorophenyl)tetrazolo[1,5-a]quinolin-7-yl]methanone 406162-75-2,
7-[Chloro(4-chlorophenyl)[4-methyl-5-(methylthio)-4H-1,2,4-**triazol**-
3-yl]methyl]-5-(3-chlorophenyl)tetrazolo[1,5-a]**quinazoline**
406162-80-9, 7-[Chloro(4-iodophenyl)(4-methyl-4H-1,2,4-**triazol**-
3-yl)methyl]-5-(3-chlorophenyl)tetrazolo[1,5-a]**quinazoline**
RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of quinoline and **quinazoline** derivs. as **farnesyl** transferase inhibitors for treatment of tumors and proliferative diseases)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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DOCUMENT NUMBER: 136:279469

TITLE: Preparation of quinoline and **quinazoline** derivatives as **farnesyl** transferase inhibitors for treatment of tumors and proliferative diseases

INVENTOR(S): **Angibaud, Patrick Rene**; Venet, Marc Gaston;

Pilatte, Isabelle Noelle Constance

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 66 pp.

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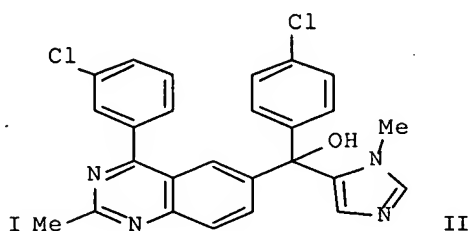
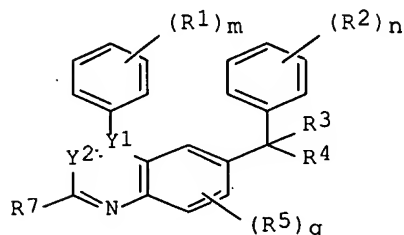
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WO 2002024682	A1	20020328	WO 2001-EP10867	20010918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1322635	A1	20030702	EP 2001-974271	20010918
EP 1322635	B1	20060322		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509883	T	20040402	JP 2002-529092	20010918
AT 321038	T	20060415	AT 2001-974271	20010918
ES 2261476	T3	20061116	ES 2001-1974271	20010918
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US 2003203904	A1	20031030	US 2003-381363	20030324
US 7053105	B2	20060530		
PRIORITY APPLN. INFO.:			EP 2000-203365	A 20000925
			WO 2001-EP10867	W 20010918
OTHER SOURCE(S):		MARPAT 136:279469		
GI				



AB Title compds. I [wherein m and n = independently 0-5; q = 0-3; Y1Y2 = C:N or C:CR9; C9 = H, halo, CN, (cyclo)alkyl, hydroxyalkyl, alkoxy(alkyl), aminoalkyl, (amino)alkenyl, (amino)alkynyl, halocarbonyl, hydroxycarbonyl, alkoxycarbonyl, aryl, (un)substituted amino or carbamoyl, etc.; R1 and R2= independently azido, OH, halo, CN, NO2, trihalomethyl, alkoxy, aryloxy, heterocyclyloxy, alkylthio, or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, carbamoyl, amino, sulfamoyl, etc.; or R1R2 = OCH2O, OCH2CH2O, OCH:CH, OCH2CH2, OCH2CH2CH2, CH:CHCH:CH; R3 = H, halo, CN, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, aryl, heterocyclyl, alkoxy, alkylthio, (un)substituted (cyclo)alkyl or amino, etc.; R4 = (un)substituted imidazolyl, triazolyl, or pyridyl; R5 = CN, OH, halo, alkenyl, alkynyl, hydroxycarbonyl, alkoxycarbonyl, or (un)substituted (cyclo)alkyl, alkoxy, amino, or carbamoyl, etc.; R7 = halo or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, alkylthio, carboxy, carbamoyl, acyl(amino), etc.; or pharmaceutically acceptable salts, N-oxides,

or stereochem. isomeric forms thereof] were prepared For example, N-[2-(3-chlorobenzoyl)-4-(4-chlorobenzoyl)phenyl]acetamide was cyclized with NH₃ in i-PrOH to give (4-chlorophenyl)[4-(3-chlorophenyl)-2-methyl-6-**quinazolinyl**]methanone (36%). Addition of 1-methyl-1H-imidazole in the presence of BuLi and SiEt₃Cl in THF afforded II (40%). I have potent **farnesyl** transferase inhibitory effect and are useful for inhibiting proliferative diseases and growth of tumors expressing an activated ras oncogene (no data).

- IC ICM C07D401-06
ICS A61K031-47; A61P043-00; C07D405-14; C07D409-14; C07D401-14;
C07D417-14; C07D403-06
- CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
- ST **quinazoline** quinoline prepn **farnesyl** transferase inhibitor; quinoline **quinazoline** prepn antitumor antiproliferative
- IT Antitumor agents
Cytotoxic agents
(preparation of quinoline and **quinazoline** derivs. as **farnesyl** transferase inhibitors for treatment of tumors and proliferative diseases)
- IT Ras proteins
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of quinoline and **quinazoline** derivs. as **farnesyl** transferase inhibitors for treatment of tumors and proliferative diseases)
- IT 405548-83-6P, (E)-3-[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinyl]-2-propenenitrile
405548-95-0P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)-2-methyl- α -[4-methyl-5-(methylthio)-4H-1,2,4-**triazol**-3-yl]-6-quinolinemethanol 405548-97-2P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)-2-methyl- α -[4-methyl-5-(methylthio)-4H-1,2,4-**triazol**-3-yl]-6-quinolinemethanamine 405549-01-1P,
2-Amino-4-(3-chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)-6-**quinazolinemethanol**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(**farnesyl** transferase inhibitor; preparation of quinoline and **quinazoline** derivs. as **farnesyl** transferase inhibitors for treatment of tumors and proliferative diseases)
- IT 405548-81-4P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinecarboxaldehyde oxime 405548-82-5P,
Ethyl (E)-3-[4-(3-chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinyl]propenoate 405548-84-7P,
4-(3-Chlorophenyl)- α -(4-chlorophenyl)-2-[[[2-(diethylamino)ethyl]amino]methyl]- α -(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol 405548-85-8P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)-2-(1-ethoxyethenyl)- α -(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol 405548-86-9P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)- α ,2-bis(1-methyl-1H-imidazol-5-yl)-6-**quinazolinemethanol** 405548-87-0P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-N-[2-(dimethylamino)ethyl]-2-quinolinecarboxamide 405548-88-1P, N-[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinyl]-2-furancarboxamide 405548-89-2P, N-[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinyl]-N'-phenylurea 405548-90-5P, 4-Bromo-N-[4-(3-chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-

quinolinyl]benzamide 405548-91-6P, (E)-3-[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinyl]-2-propenamide 405548-92-7P, 1-Methylethyl 4-(3-chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-**quinazolinecarboxylate** 405548-93-8P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)-2-methyl- α -(1-methyl-1H-imidazol-5-yl)-6-**quinazolinemethanol** 405548-96-1P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)-2-methyl- α -(4-methyl-4H-1,2,4-**triazol**-3-yl)-6-quinolinemethanol 405548-98-3P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)-2-methyl- α -(4-methyl-4H-1,2,4-**triazol**-3-yl)-6-quinolinemethanamine 405549-00-0P, α -(4-Chlorophenyl)-2-[(2-hydroxyethyl)amino]- α -(1-methyl-1H-imidazol-5-yl)-4-(3-methylphenyl)-6-quinolinemethanol 405549-02-2P, Ethyl [[4-(3-chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-**quinazolinyl**]hydrazono]-(2E)-ethanoate 405549-03-3P, N-[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-**quinazolinyl**]-N'-(2-methoxyethyl)thiourea 405549-04-4P, N-[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-**quinazolinyl**]-N'-(1-methylethyl)urea 405549-05-5P, N-[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-**quinazolinyl**]cyclohexanecarboxamide 405549-06-6P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)-3-pyridinylmethyl]-N-(2-propenyl)-2-quinolinemethanamine 405549-07-7P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)-2-(phenylethynyl)-6-quinolinemethanol 405549-08-8P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)-2-(3-pyridinyl)-6-**quinazolinemethanol** 405549-09-9P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)-2-[(2-propenylamino)methyl]-6-quinolinemethanol 405549-10-2P 405549-11-3P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)-2-[[[2-(1-pyrrolidinyl)ethyl]amino]methyl]-6-quinolinemethanol 405549-12-4P 405549-13-5P 405549-14-6P 405549-15-7P 405549-16-8P 405549-17-9P 405549-18-0P 405549-19-1P 405549-20-4P 405549-21-5P 405549-22-6P 405549-23-7P 405549-24-8P 405549-25-9P 405549-26-0P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-N-(2-propenyl)-2-quinolinecarboxamide 405549-27-1P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-N-[2-(4-morpholinyl)ethyl]-2-quinolinecarboxamide 405549-28-2P, Ethyl 4-[[[4-(3-chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinyl]carbonyl]amino]-1-piperidinecarboxylate 405549-29-3P, 1-[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinyl]carbonyl]piperidine 405549-30-6P, 1-[4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinecarbonyl]-4-methylpiperazine 405549-31-7P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-N-(2-furanylmethyl)-2-quinolinecarboxamide 405549-32-8P 405549-33-9P 405549-34-0P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-N-cyclopropyl-2-quinolinecarboxamide 405549-35-1P 405549-36-2P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-N-[2-(1-pyrrolidinyl)ethyl]-2-quinolinecarboxamide 405549-37-3P 405549-38-4P 405549-39-5P 405549-40-8P 405549-41-9P 405549-42-0P 405549-43-1P 405549-44-2P 405549-45-3P 405549-46-4P 405549-47-5P 405549-48-6P 405549-49-7P 405549-50-0P 405549-51-1P 405549-52-2P 405549-53-3P 405549-54-4P 405549-55-5P 405549-56-6P 405549-57-7P 405549-58-8P 405549-59-9P 405549-61-3P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-N-(4-pyridinylmethyl)-2-quinolinecarboxamide 405549-63-5P 405549-65-7P

405549-69-1P	405549-71-5P	405549-73-7P	405549-75-9P	405549-77-1P
405549-79-3P	405549-81-7P	405549-82-8P	405549-83-9P	405549-84-0P
405549-85-1P	405549-86-2P	405549-87-3P	405549-88-4P	405549-89-5P
405549-90-8P	405549-91-9P	405549-92-0P	405549-93-1P	405549-94-2P
405549-95-3P	405549-96-4P	405549-97-5P	405549-98-6P	405549-99-7P
405550-00-7P	405550-01-8P	405550-02-9P	405550-03-0P	405550-04-1P
405550-05-2P	405550-07-4P	405550-08-5P	405550-09-6P	405550-10-9P
405550-11-0P	405550-12-1P	405550-13-2P	405550-14-3P	405550-15-4P
405550-16-5P	405550-17-6P	405550-18-7P	405550-19-8P	405550-20-1P
405550-21-2P	405550-22-3P	405550-23-4P	405550-24-5P	405550-25-6P
405550-26-7P	405550-27-8P	405550-28-9P	405550-29-0P	405550-30-3P
405550-31-4P	405550-32-5P	405550-33-6P	405550-34-7P	405550-36-9P
405550-38-1P	405550-40-5P	405550-42-7P	405550-44-9P	405550-45-0P
405550-47-2P	405550-48-3P	405550-49-4P	405550-50-7P	405550-51-8P
405550-52-9P	405550-53-0P	405550-54-1P	405550-55-2P	405550-56-3P
405550-57-4P	405550-58-5P	405550-59-6P	405550-60-9P	405550-61-0P
405550-62-1P	405550-63-2P	405550-64-3P	405550-65-4P	405550-66-5P
405550-67-6P				

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(*farnesyl* transferase inhibitor; preparation of quinoline and *quinazoline* derivs. as *farnesyl* transferase

inhibitors for treatment of tumors and proliferative diseases)

IT 405548-64-3P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-2-methylquinoline 405548-65-4P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinecarboxylic acid 405548-66-5P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinecarbonyl chloride monohydrochloride 405548-68-7P, (4-Chlorophenyl)[4-(3-chlorophenyl)-2-methyl-6-*quinazolinyl*]methanone 405548-69-8P, 5-[2-(4-Chlorophenyl)-1,3-dioxolan-2-yl]-3-(3-methylphenyl)-2,1-benzisoxazole 405548-70-1P, [2-Amino-5-[2-(4-chlorophenyl)-1,3-dioxolan-2-yl]phenyl](3-methylphenyl)methanone 405548-71-2P, N-[4-[2-(4-Chlorophenyl)-1,3-dioxolan-2-yl]-2-(3-methylbenzoyl)phenyl]acetamide 405548-72-3P, 6-[2-(4-Chlorophenyl)-1,3-dioxolan-2-yl]-4-(3-methylphenyl)-2(1H)-quinolinone 405548-73-4P, 6-(4-Chlorobenzoyl)-4-(3-methylphenyl)-2(1H)-quinolinone 405548-74-5P, [2-Chloro-4-(3-methylphenyl)-6-quinolinyl](4-chlorophenyl)methanone 405548-75-6P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)-2-hydrazino- α -(1-methyl-1H-imidazol-5-yl)-6-*quinazolinemethanol* 405548-77-8P, 3-(3-Chlorophenyl)- α -(4-chlorophenyl)- α -(3-pyridinyl)-2,1-benzisoxazole-5-methanol 405548-78-9P, [2-Amino-5-[(4-chlorophenyl)-3-pyridinylmethyl]phenyl](3-chlorophenyl)methanone 405548-79-0P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)-3-pyridinylmethyl]-2-methylquinoline 405548-80-3P, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)-3-pyridinylmethyl]-2-quinolinecarboxaldehyde 405548-94-9P, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)- α -(5-mercapto-4-methyl-4H-1,2,4-*triazol*-3-yl)-2-methyl-6-quinolinemethanol 405548-99-4P, 2-Chloro- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)-4-(3-methylphenyl)-6-quinolinemethanol

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinoline and *quinazoline* derivs. as *farnesyl* transferase inhibitors for treatment of tumors and proliferative diseases)

IT 131384-38-8, Protein *farnesyl* transferase

RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of quinoline and *quinazoline* derivs. as

farnesyl transferase inhibitors for treatment of tumors and proliferative diseases)

IT 67-64-1, 2-Propanone, reactions 98-89-5, Cyclohexanecarboxylic acid 100-36-7 103-71-9, Isocyanato-benzene, reactions 107-11-9, 1-Amino-2-propene 108-00-9, N,N-Dimethyl-1,2-ethanediamine 527-69-5, 2-Furancarbonyl chloride 536-74-3, Ethynylbenzene 586-76-5, 4-Bromobenzoic acid 616-47-7, 1-Methyl-1H-imidazole 626-55-1, 3-Bromopyridine 867-13-0, Ethyl (diethylphosphono)acetate 924-44-7, Oxoacetic acid ethyl ester 1692-25-7, 3-Pyridinylboronic acid 1795-48-8, 2-Isocyanato-propane 2537-48-6, Diethyl (cyanomethyl)phosphonate 2947-60-6, 3-Methylbenzeneacetonitrile 24854-43-1, 4-Methyl-4H-1,2,4-**triazole**-3-thiol 38663-85-3, 1-Isothiocyanto-2-methoxyethane 97674-02-7, Tributyl(1-ethoxyethenyl)stannane 147716-03-8, 1-Methyl-5-(tributylstannyl)-1H-imidazole 190898-64-7, 2-(4-Chlorophenyl)-2-(4-nitrophenyl)-1,3-dioxolane 280143-14-8, 2-Amino-4-(3-chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol 280143-17-1, 2-Chloro-4-(3-chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol 280143-20-6, 2-Chloro-4-(3-chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)-6-**quinazolinemethanol** 280143-21-7, 4-(3-Chlorophenyl)- α -(4-chlorophenyl)-2-methyl- α -(1-methyl-1H-imidazol-5-yl)-6-quinolinemethanol 280143-22-8, 4-(3-Chlorophenyl)-6-[(4-chlorophenyl)hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-2-quinolinecarboxaldehyde 280143-30-8, (4-Chlorophenyl)[4-(3-chlorophenyl)-2-methyl-6-quinolinyl]methanone 405548-67-6, N-[2-(3-Chlorobenzoyl)-4-(4-chlorobenzoyl)phenyl]acetamide 405548-76-7, (4-Chlorophenyl)[3-(3-chlorophenyl)-2,1-benzisoxazol-5-yl]methanone

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of quinoline and **quinazoline** deriys. as *farnesyl* transferase inhibitors for treatment of tumors and proliferative diseases)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:935605 CAPLUS Full-text

DOCUMENT NUMBER: 136:53760

TITLE: Preparation of (-)-5-(3-chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]**quinazoline**-7-methanamine as *farnesyl* protein transferase inhibitors useful as antitumor agents

INVENTOR(S): Venet, Marc Gaston; **Angibaud, Patrick Rene**; End, David William

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2001098302	A1	20011227	WO 2001-EP6747	20010613
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,			

LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2410232 A1 20011227 CA 2001-2410232 20010613
EP 1296984 A1 20030402 EP 2001-938263 20010613
EP 1296984 B1 20050504

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

BR 2001011743 A 20030708 BR 2001-11743 20010613
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JP 2004501153 T 20040115 JP 2002-504258 20010613
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NZ 522481 A 20040924 NZ 2001-522481 20010613
AT 294804 T 20050515 AT 2001-938263 20010613
CZ 295278 B6 20050615 CZ 2003-114 20010613
ES 2241830 T3 20051101 ES 2001-1938263 20010613
IN 2002MN01545 A 20041211 IN 2002-MN1545 20021101
BG 107310 A 20030731 BG 2002-107310 20021125
NO 2002006032 A 20021216 NO 2002-6032 20021216
ZA 2002010305 A 20040319 ZA 2002-10305 20021219
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HK 1058363 A1 20060210 HK 2004-101163 20040218
AU 2006220405 A1 20061012 AU 2006-220405 20060920

PRIORITY APPLN. INFO.:

EP 2000-202181 A 20000622
AU 2001-263962 A3 20010613
WO 2001-EP6747 W 20010613

OTHER SOURCE(S): CASREACT 136:53760

AB Title compound, (-)-5-(3-Chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinazoline -7-methanamine and its pharmaceutically acceptable salts, are prepared and formulations useful in medicine are given as *farnesyl* protein transferase inhibitors for the treatment of cancer. Thus, the title compound was tested for in vitro assay for inhibition of *farnesyl* protein transferase and *farnesyl* protein transferase inhibitor secondary tumor model(no data).

IC ICM C07D487-04

ICS A61K031-519; A61P035-00; C07D487-04; C07D257-00; C07D239-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1, 63

ST chlorophenyl *methylimidazolyltetrazoloquinazolinemethanamine* prepn *farnesyl* protein transferase inhibitor; antitumor agent
chlorophenyl *methylimidazolyltetrazoloquinazolinemethanamine*

IT Antitumor agents

Resolution (separation)

Stereoisomers

(preparation of (-)-5-(3-chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinazoline -7-methanamine as *farnesyl* protein transferase inhibitors useful as antitumor agents)

IT 131384-38-8, *Farnesyl* transferase

RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); NPO (Natural product occurrence); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

(preparation of (-)-5-(3-chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinazoline -7-methanamine as *farnesyl* protein transferase inhibitors useful as antitumor agents)

IT 382146-82-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of (-)-5-(3-chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]**quinazoline**
 -7-methanamine as **farnesyl** protein transferase inhibitors
 useful as antitumor agents)

IT 616-47-7, 1-Methylimidazole
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of (-)-5-(3-chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]**quinazoline**
 -7-methanamine as **farnesyl** protein transferase inhibitors
 useful as antitumor agents)

IT 215034-82-5P 280143-19-3P 280143-20-6P 280143-59-1P 280144-98-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of (-)-5-(3-chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]**quinazoline**
 -7-methanamine as **farnesyl** protein transferase inhibitors
 useful as antitumor agents)

IT 382146-83-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of (-)-5-(3-chlorophenyl)- α -(4-chlorophenyl)- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]**quinazoline**
 -7-methanamine as **farnesyl** protein transferase inhibitors
 useful as antitumor agents)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:723797 CAPLUS Full-text

DOCUMENT NUMBER: 129:330739

TITLE: **Farnesyltransferase** inhibiting **quinazolinones**

INVENTOR(S): **Angibaud, Patrick Rene**; Venet, Marc Gaston; Freyne, Eddy Jean Edgard

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

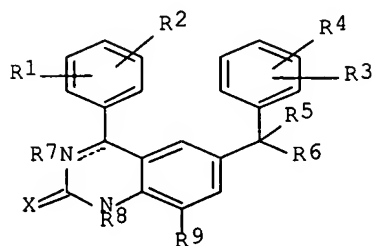
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9849157	A1	19981105	WO 1998-EP2357	19980417
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RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2288140	A1	19981105	CA 1998-2288140	19980417
AU 9876460	A	19981124	AU 1998-76460	19980417
AU 738628	B2	20010920		

EP 977750	A1	20000209	EP 1998-924161	19980417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9809398	A	20000613	BR 1998-9398	19980417
TR 9902606	T2	20000721	TR 1999-2606	19980417
NZ 336233	A	20010126	NZ 1998-336233	19980417
HU 200001122	A2	20010428	HU 2000-1122	19980417
JP 2001522364	T	20011113	JP 1998-546561	19980417
IL 130363	A	20020814	IL 1998-130363	19980417
CN 1094937	B	20021127	CN 1998-804366	19980417
RU 2205831	C2	20030610	RU 1999-124815	19980417
PL 190944	B1	20060228	PL 1998-336468	19980417
CZ 296959	B6	20060816	CZ 1999-3717	19980417
ZA 9803504	A	19991025	ZA 1998-3504	19980424
NO 9905169	A	19991227	NO 1999-5169	19991022
NO 317576	B1	20041115		
MX 9909763	A	20000430	MX 1999-9763	19991022
US 6177432	B1	20010123	US 1999-403705	19991022
US 6358961	B1	20020319	US 2000-687153	20001013
US 2002049327	A1	20020425	US 2000-725391	20001129
US 6444812	B2	20020903		

PRIORITY APPLN. INFO.:

EP 1997-201259	A	19970425
EP 1997-200708	A	19970310
EP 1997-200709	A	19970310
WO 1998-EP2357	W	19980417
US 1999-403705	A1	19991022
US 1999-380856	A3	19991220

OTHER SOURCE(S): MARPAT 129:330739
GI



I

AB The title compds. I [the dotted line represents an optional bond; X = O, S; R1, R2 = H, hydroxy, halo, cyano, C1-6alkyl, trihalomethyl, trihalomethoxy, C2-6alkenyl, C1-6alkyloxy, hydroxyC1-6alkyloxy, C1-6alkyloxyC1-6alkyloxy, C1-6alkyloxycarbonyl, aminoC1-6alkyloxy, mono- or di(C1-6alkyl)aminoC1-6alkyloxy, Ar1, Ar1C1-6alkyl, Arloxy, Ar1C1-6alkyloxy; or when on adjacent positions R1 and R2 taken together may form a bivalent radical; R3, R4 = H, halo, cyano, C1-6alkyl, C1-6alkyloxy, Arloxy, C1-6alkylthio, di(C1-6alkyl)amino, trihalomethyl, trihalomethoxy; R5 = H, halo, cyano, optionally substituted C1-6alkyl, C1-6alkyloxycarbonyl, Ar1; or a radical of the formula -OR10, -SR10, -NR11R12; R6 is an optionally substituted imidazolyl moiety; R7 = H, C1-6alkyl provided that the dotted line does not represent a bond; R8 = H, C1-6alkyl or Ar2CH2 or Het1CH2; R9 = H, C1-6alkyl, C1-6alkyloxy, halo, or R8 and R9 taken together may form a bivalent radical; Ar1 and Ar2 are optionally substituted

Ph and Het1 is optionally substituted pyridinyl], having *farnesyltransferase* inhibiting activity, were prepared E.g., reaction of 6-[chloro(4-chlorophenyl)methyl]-4-(3-chlorophenyl)-3,4-dihydro-2(1H)-*quinazolinone* and imidazole gave 44.5% 4-(3-chlorophenyl)-6-[(4-chlorophenyl)-1H-imidazol-1-ylmethyl]-3,4-dihydro-2(1H)-*quinazolinone*.

IC C07D403-06; C07D405-04; A61K031-505; C07D401-14; C07D403-06; C07D239-00; C07D233-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

ST *quinazolinone* prepn *farnesyltransferase* inhibiting

IT 215034-59-6P 215034-62-1P 215034-65-4P 215034-67-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of *farnesyltransferase* inhibiting *quinazolinones*)

IT 215034-60-9P 215034-61-0P 215034-64-3P 215034-66-5P 215034-68-7P
215034-69-8P 215034-70-1P 215034-71-2P 215034-72-3P 215034-73-4P
215034-75-6P 215034-76-7P 215034-77-8P 215034-78-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of *farnesyltransferase* inhibiting *quinazolinones*)

IT 131384-38-8

RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)

(preparation of *farnesyltransferase* inhibiting *quinazolinones*)

IT 288-32-4, 1H-Imidazole, reactions 616-47-7 670-96-2 1529-41-5
1822-51-1 7497-60-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of *farnesyltransferase* inhibiting *quinazolinones*)

IT 190898-64-7P 190898-77-2P 215034-79-0P 215034-80-3P 215034-81-4P
215034-82-5P 215034-83-6P 215034-84-7P 215034-85-8P 215034-86-9P
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215034-97-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of *farnesyltransferase* inhibiting *quinazolinones*)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file registry

FILE 'REGISTRY' ENTERED AT 15:58:10 ON 14 MAR 2007

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STRUCTURE FILE UPDATES: 13 MAR 2007 HIGHEST RN 926304-31-6

DICTIONARY FILE UPDATES: 13 MAR 2007 HIGHEST RN 926304-31-6

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> file caplus

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FILE COVERS 1907 - 14 Mar 2007 VOL 146 ISS 12

FILE LAST UPDATED: 13 Mar 2007 (20070313/ED)

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<http://www.cas.org/infopolicy.html>

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

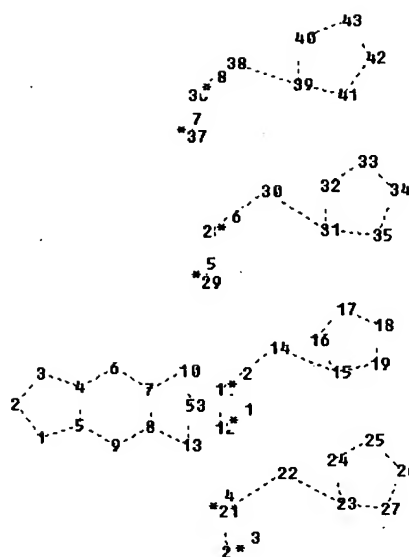
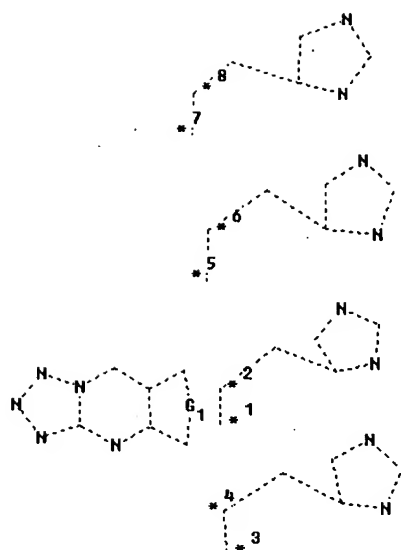
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L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:

Uploading L3.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 28 29 30 31 32 33 34 35 36 37 39 40 41 42 43 53

ring/chain nodes :

38

chain bonds :

22-23 28-30 36-38 38-39

ring bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 7-10 8-13 8-9 10-53 11-14 11-12
13-53 14-15 15-16 15-19 16-17 17-18 18-19 20-21 21-22 23-24 23-27 24-25
25-26 26-27
28-29 30-31 31-32 31-35 32-33 33-34 34-35 36-37 39-40 39-41 40-43 41-42
42-43

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 7-10 8-13 8-9 10-53 11-14 11-12
13-53 14-15 15-16 15-19 16-17 17-18 18-19 20-21 21-22 22-23 23-24 23-27
24-25 25-26
26-27 28-29 28-30 30-31 31-32 31-35 32-33 33-34 34-35 36-37 36-38 38-39
39-40 39-41
40-43 41-42 42-43

G1:[*1-*2],[*3-*4],[*5-*6],[*7-*8]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom
31:Atom 32:Atom

33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom
42:Atom 43:Atom
53:Atom

L6 21 SEA FILE=REGISTRY SSS FUL L3
L7 1 SEA FILE=CAPLUS ABB=ON PLU=ON L6

=> file beilstein

FILE 'BEILSTEIN' ENTERED AT 15:58:44 ON 14 MAR 2007

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FILE LAST UPDATED ON JANUARY 10, 2007

FILE COVERS 1771 TO 2006.

*** FILE CONTAINS 9,780,003 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in
separate documents and can not be searched together in one query.
Reaction data for BEILSTEIN compounds may be displayed
immediately with the display codes PRE (preparations) and REA
(reactions). A substance answer set retrieved after the search
for a chemical name, a compounds with available reaction
information by combining with PRE/FA, REA/FA or more generally
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
between a BEILSTEIN compound and belonging reactions. For mo
detailed reaction searches BRNs can be searched as reaction
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *

NEW

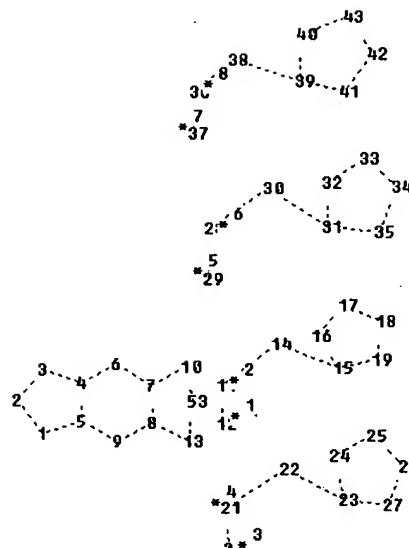
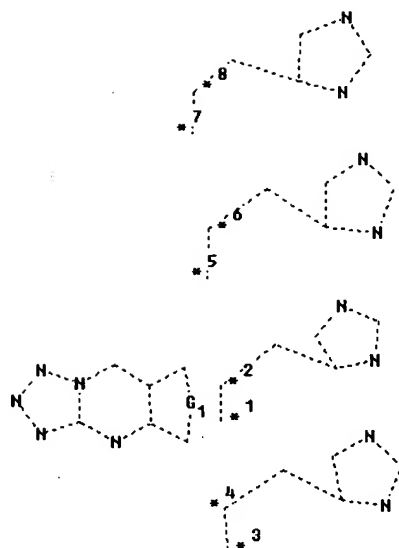
* **PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
SEARCHED, SELECTED AND TRANSFERRED.**
* **NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES,
ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
COMPOUND AT A GLANCE.**

=> d stat que L9

L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:
Uploading L3.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 28 29 30 31 32 33 34 35 36 37 39 40 41 42 43 53

ring/chain nodes :

38

chain bonds :

22-23 28-30 36-38 38-39

ring bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 7-10 8-13 8-9 10-53 11-14 11-12
13-53 14-15 15-16 15-19 16-17 17-18 18-19 20-21 21-22 23-24 23-27 24-25
25-26 26-27
28-29 30-31 31-32 31-35 32-33 33-34 34-35 36-37 39-40 39-41 40-43 41-42
42-43

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 7-10 8-13 8-9 10-53 11-14 11-12
13-53 14-15 15-16 15-19 16-17 17-18 18-19 20-21 21-22 22-23 23-24 23-27
24-25 25-26
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39-40 39-41
40-43 41-42 42-43

G1:[*1-*2],[*3-*4],[*5-*6],[*7-*8]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom
22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom
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=> file marpat

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FILE CONTENT: 1961-PRESENT VOL 146 ISS 11 (20070309/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	2007020715	25	JAN 2007
DE	102005032918	18	JAN 2007
EP	1743897	17	JAN 2007
JP	2007016265	25	JAN 2007
WO	2007012422	01	FEB 2007
GB	2427406	27	DEC 2006
FR	2888248	12	JAN 2007
RU	2291880	20	JAN 2007
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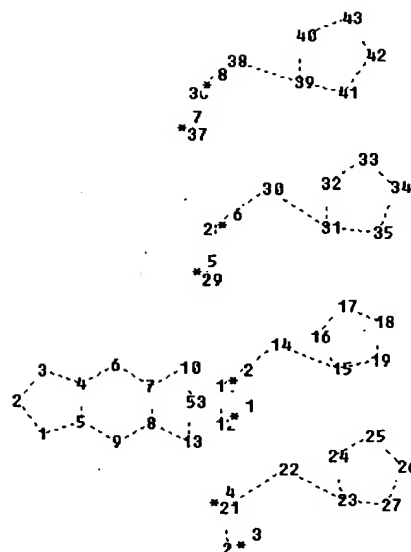
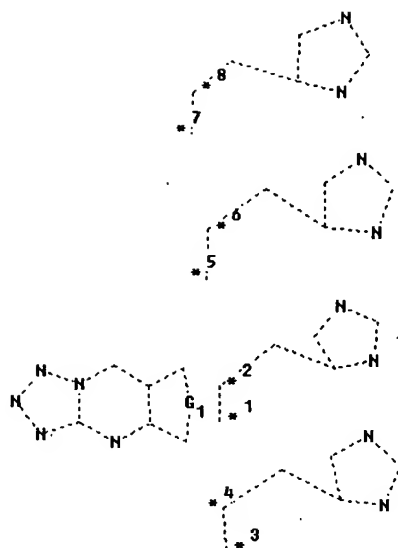
Expanded G-group definition display now available.

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L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation:
Uploading L3.str



ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
24 25 26 27 28 29 30 31 32 33 34 35 36 37 39 40 41 42 43 53

ring/chain nodes :

38

chain bonds :

22-23 28-30 36-38 38-39

ring bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 7-10 8-13 8-9 10-53 11-14 11-12
13-53 14-15 15-16 15-19 16-17 17-18 18-19 20-21 21-22 23-24 23-27 24-25
25-26 26-27
28-29 30-31 31-32 31-35 32-33 33-34 34-35 36-37 39-40 39-41 40-43 41-42
42-43

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-9 6-7 7-8 7-10 8-13 8-9 10-53 11-14 11-12
13-53 14-15 15-16 15-19 16-17 17-18 18-19 20-21 21-22 22-23 23-24 23-27
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40-43 41-42 42-43

G1:[*1-*2],[*3-*4],[*5-*6],[*7-*8]

Match level :

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L11 1 SEA FILE=MARPAT SSS FUL L3

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SEARCH TIME: 00.00.01

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ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
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PROCESSING COMPLETED FOR L7
PROCESSING COMPLETED FOR L9
PROCESSING COMPLETED FOR L11

L27 1 DUP REM L7 L9 L11 (1 DUPLICATE REMOVED)
ANSWER '1' FROM FILE CAPLUS

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L27 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2003:837087 CAPLUS Full-text
DOCUMENT NUMBER: 139:337983
TITLE: Preparation of farnesyl transferase inhibiting
tetrazoloquinazolines substituted with carbon-linked
imidazoles or triazoles
INVENTOR(S): Angibaud, Patrick Rene; Venet, Marc Gaston; Argouillon,
Jean Michel Jacques Raymond
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003087101	A1	20031023	WO 2003-EP3986	20030414
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,			

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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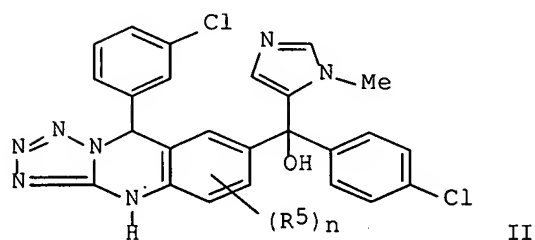
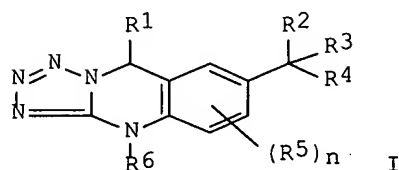
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EP 1497295	B1	20060816		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

US 2005148609	A1	20050707	US 2003-509365	20030414
JP 2005526109	T	20050902	JP 2003-584057	20030414
AT 336496	T	20060915	AT 2003-722496	20030414

PRIORITY APPLN. INFO.: EP 2002-76448 A 20020415
WO 2003-EP3986 W 20030414

OTHER SOURCE(S): MARPAT 139:337983
GI



AB Title compds. I [R1, R2 = (un)substituted Ph; R3 = H, halogen, CN, (un)substituted alkyl, alkenyl, alkynyl, CO2H, aryl, heterocyclic, OH, SH, NH2, N:CH2; R4 = (un)substituted imidazol-5-yl, 4H-1,2,4-triazol-3-yl; R5 = CN, OH, halogen, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, CO2H, NH2, CONH2; R6 = H, (un)substituted alkyl; n = 0-2] were prepared for use as farnesyl transferase inhibitors in the treatment of proliferative diseases. Thus, the title compound I was prepared by rearrangement of 5-(3-chlorophenyl)- α -(4-chlorophenyl)-4,5-dihydro- α -(1-methyl-1H-imidazol-5-yl)tetrazolo[1,5-a]quinazolinemethanol and gave 81% inhibition of farnesyl transferase at 1×10^{-7} M.

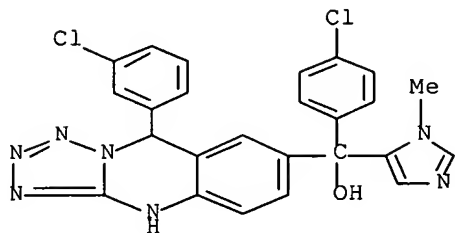
IT 615277-93-5P 615277-99-1P 615278-09-6P
615278-39-2P 615278-42-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of farnesyl transferase inhibiting tetrazoloquinazolines substituted with carbon-linked imidazoles or triazoles)

RN 615277-93-5 CAPLUS

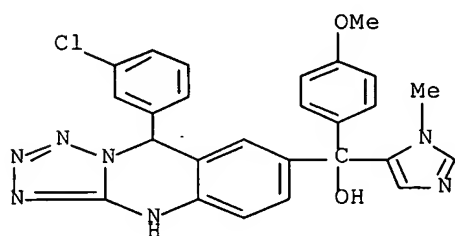
CN Tetrazolo[5,1-b]quinazoline-7-methanol, 9-(3-chlorophenyl)- α -(4-

chlorophenyl)-1,9-dihydro- α -(1-methyl-1H-imidazol-5-yl)- (9CI) (CA
INDEX NAME)



RN 615277-99-1 CAPLUS

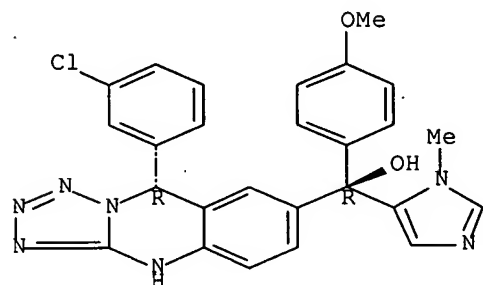
CN Tetrazolo[5,1-b]quinazoline-7-methanol, 9-(3-chlorophenyl)-1,9-dihydro- α -(4-methoxyphenyl)- α -(1-methyl-1H-imidazol-5-yl)- (9CI) (CA
INDEX NAME)



RN 615278-09-6 CAPLUS

CN Tetrazolo[5,1-b]quinazoline-7-methanol, 9-(3-chlorophenyl)-1,9-dihydro- α -(4-methoxyphenyl)- α -(1-methyl-1H-imidazol-5-yl)-, (9R)- (9CI) (CA INDEX NAME)

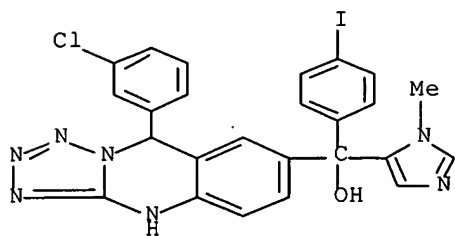
Absolute stereochemistry.



RN 615278-39-2 CAPLUS

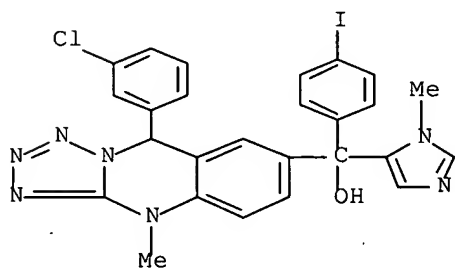
CN Tetrazolo[5,1-b]quinazoline-7-methanol, 9-(3-chlorophenyl)-1,9-dihydro-

α -(4-iodophenyl)- α -(1-methyl-1H-imidazol-5-yl)- (9CI) (CA INDEX NAME)



RN 615278-42-7 CAPLUS

CN Tetrazolo[5,1-b]quinazoline-7-methanol, 9-(3-chlorophenyl)-4,9-dihydro- α -(4-iodophenyl)-4-methyl- α -(1-methyl-1H-imidazol-5-yl)- (9CI)
(CA INDEX NAME)



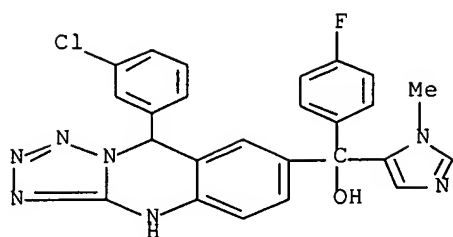
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615278-06-3P 615278-12-1P 615278-15-4P
615278-18-7P 615278-21-2P 615278-24-5P
615278-27-8P 615278-30-3P 615278-40-5P
615278-41-6P 615278-44-9P 615278-45-0P
615278-47-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of farnesyl transferase inhibiting tetrazoloquinazolines substituted with carbon-linked imidazoles or triazoles)

RN 615277-96-8 CAPLUS

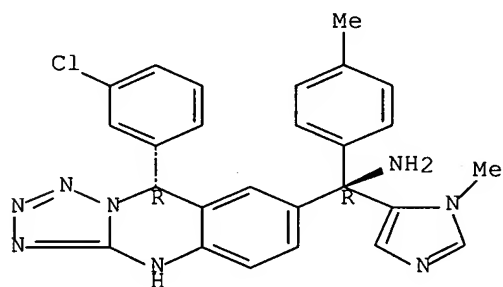
CN Tetrazolo[5,1-b]quinazoline-7-methanol, 9-(3-chlorophenyl)- α -(4-fluorophenyl)-1,9-dihydro- α -(1-methyl-1H-imidazol-5-yl)- (9CI) (CA INDEX NAME)



RN 615278-01-8 CAPLUS

CN Tetrazolo[5,1-b]quinazoline-7-methanamine, 9-(3-chlorophenyl)-1,9-dihydro-
α-(1-methyl-1H-imidazol-5-yl)-α-(4-methylphenyl)-,
(αR,9R)-rel- (9CI) (CA INDEX NAME)

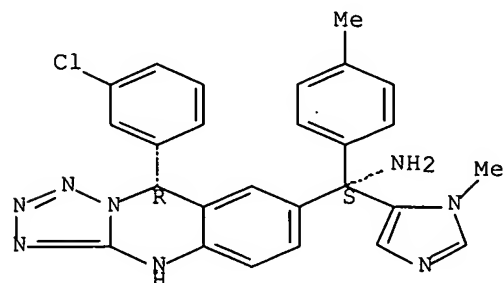
Relative stereochemistry.



RN 615278-03-0 CAPLUS

CN Tetrazolo[5,1-b]quinazoline-7-methanamine, 9-(3-chlorophenyl)-1,9-dihydro-
α-(1-methyl-1H-imidazol-5-yl)-α-(4-methylphenyl)-,
(αR,9S)-rel- (9CI) (CA INDEX NAME)

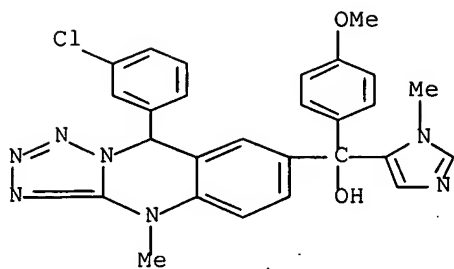
Relative stereochemistry.



RN 615278-06-3 CAPLUS

CN Tetrazolo[5,1-b]quinazoline-7-methanol, 9-(3-chlorophenyl)-4,9-dihydro-
α-(4-methoxyphenyl)-4-methyl-α-(1-methyl-1H-imidazol-5-yl)-

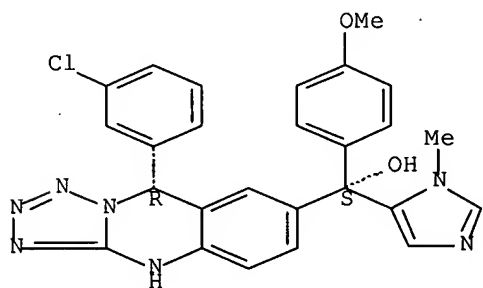
(9CI) (CA INDEX NAME)



RN 615278-12-1 CAPLUS

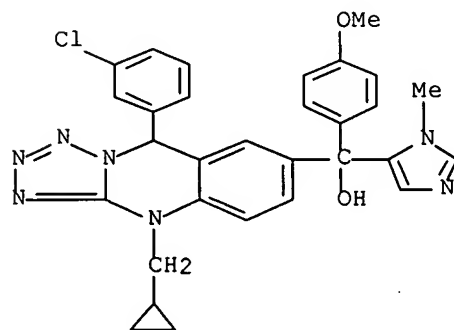
CN Tetrazolo[5,1-b]quinazoline-7-methanol, 9-(3-chlorophenyl)-1,9-dihydro-
 α -(4-methoxyphenyl)- α -(1-methyl-1H-imidazol-5-yl)-,
 (α S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



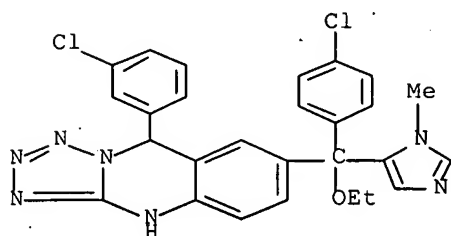
RN 615278-15-4 CAPLUS

CN Tetrazolo[5,1-b]quinazoline-7-methanol, 9-(3-chlorophenyl)-4-
 (cyclopropylmethyl)-4,9-dihydro- α -(4-methoxyphenyl)- α -(1-
 methyl-1H-imidazol-5-yl)- (9CI) (CA INDEX NAME)



RN 615278-18-7 CAPLUS

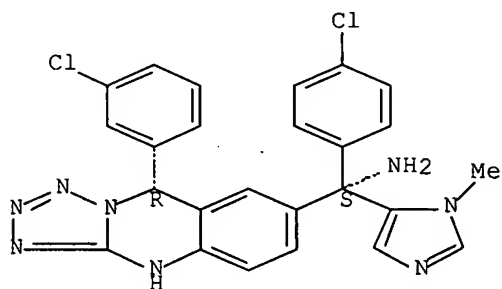
CN Tetrazolo[5,1-b]quinazoline, 9-(3-chlorophenyl)-7-[(4-chlorophenyl)ethoxy(1-methyl-1H-imidazol-5-yl)methyl]-1,9-dihydro- (9CI)
(CA INDEX NAME)



RN 615278-21-2 CAPLUS

CN Tetrazolo[5,1-b]quinazoline-7-methanamine, 9-(3-chlorophenyl)- α -(4-chlorophenyl)-1,9-dihydro- α -(1-methyl-1H-imidazol-5-yl)-, (α R,9S)-rel- (9CI) (CA INDEX NAME)

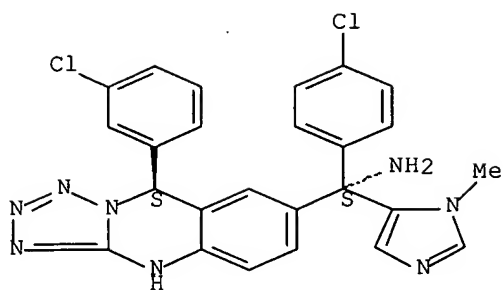
Relative stereochemistry.



RN 615278-24-5 CAPLUS

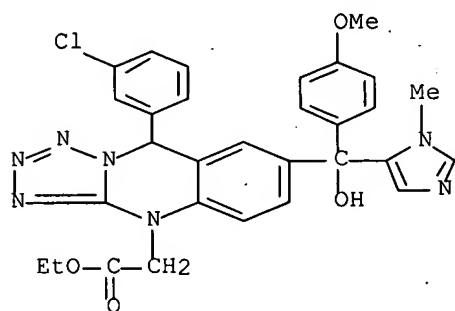
CN Tetrazolo[5,1-b]quinazoline-7-methanamine, 9-(3-chlorophenyl)- α -(4-chlorophenyl)-1,9-dihydro- α -(1-methyl-1H-imidazol-5-yl)-, (α R,9R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



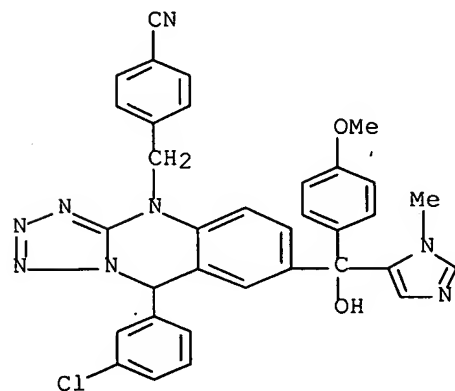
RN 615278-27-8 CAPLUS

CN Tetrazolo[5,1-b]quinazoline-4(9H)-acetic acid, 9-(3-chlorophenyl)-7-[hydroxy(4-methoxyphenyl)(1-methyl-1H-imidazol-5-yl)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



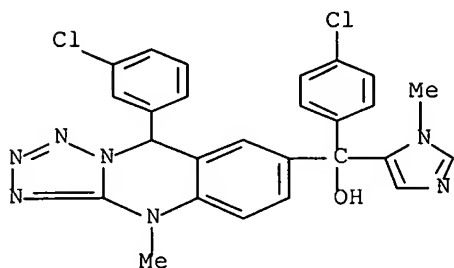
RN 615278-30-3 CAPLUS

CN Benzonitrile, 4-[[9-(3-chlorophenyl)-7-[hydroxy(4-methoxyphenyl)(1-methyl-1H-imidazol-5-yl)methyl]tetrazolo[5,1-b]quinazolin-4(9H)-yl]methyl]- (9CI) (CA INDEX NAME)



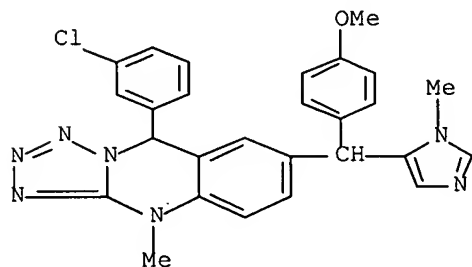
RN 615278-40-5 CAPLUS

CN Tetrazolo[5,1-b]quinazoline-7-methanol, 9-(3-chlorophenyl)- α -(4-chlorophenyl)-4,9-dihydro-4-methyl- α -(1-methyl-1H-imidazol-5-yl)- (9CI) (CA INDEX NAME)



RN 615278-41-6 CAPLUS

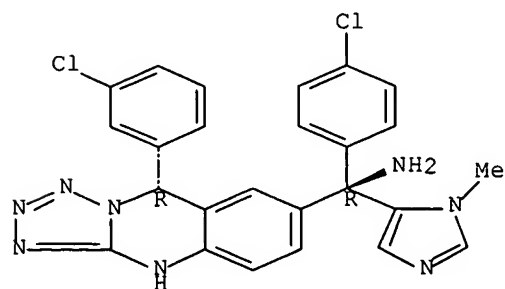
CN Tetrazolo[5,1-b]quinazoline, 9-(3-chlorophenyl)-4,9-dihydro-7-[(4-methoxyphenyl)(1-methyl-1H-imidazol-5-yl)methyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 615278-44-9 CAPLUS

CN Tetrazolo[5,1-b]quinazoline-7-methanamine, 9-(3-chlorophenyl)- α -(4-chlorophenyl)-1,9-dihydro- α -(1-methyl-1H-imidazol-5-yl)-, (α R,9R)- (9CI) (CA INDEX NAME)

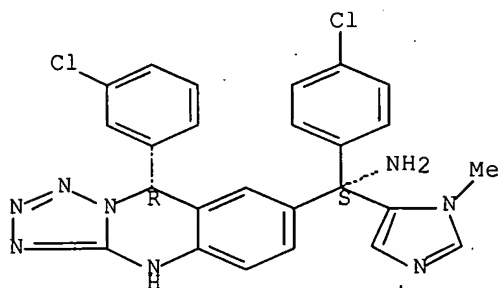
Absolute stereochemistry.



RN 615278-45-0 CAPLUS

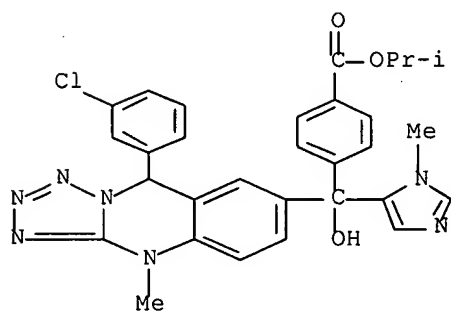
CN Tetrazolo[5,1-b]quinazoline-7-methanamine, 9-(3-chlorophenyl)- α -(4-chlorophenyl)-1,9-dihydro- α -(1-methyl-1H-imidazol-5-yl)-, (α S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 615278-47-2 CAPLUS

CN Benzoic acid, 4-[[9-(3-chlorophenyl)-4,9-dihydro-4-methyltetrazolo[5,1-b]quinazolin-7-yl]hydroxy(1-methyl-1H-imidazol-5-yl)methyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his full

(FILE 'HOME' ENTERED AT 15:21:25 ON 14 MAR 2007)

FILE 'REGISTRY' ENTERED AT 15:21:48 ON 14 MAR 2007

L1 STRUCTURE UPLOADED
 D L1
L2 2 SEA SSS SAM L1
 D SCA

FILE 'STNGUIDE' ENTERED AT 15:29:12 ON 14 MAR 2007

FILE 'REGISTRY' ENTERED AT 15:39:44 ON 14 MAR 2007

L3 STRUCTURE UPLOADED
L4 2 SEA SSS SAM L3
 D SCA
L5 2 SEA ABB=ON PLU=ON L4 AND L2
 D STAT QUE L4
L6 21 SEA SSS FUL L3
 SAVE TEMP L6 WAR365STR3L/A
 D SCA

FILE 'CAPLUS' ENTERED AT 15:42:29 ON 14 MAR 2007

L7 1 SEA ABB=ON PLU=ON L6

FILE 'BEILSTEIN' ENTERED AT 15:42:39 ON 14 MAR 2007

L8 0 SEA SSS SAM L3
L9 0 SEA SSS FUL L3

FILE 'MARPAT' ENTERED AT 15:43:24 ON 14 MAR 2007

L10 0 SEA SSS SAM L3
L11 1 SEA SSS FUL L3
 D SCA
 D COST

FILE 'REGISTRY' ENTERED AT 15:45:47 ON 14 MAR 2007

 D RSD L6 1
L12 197 SEA ABB=ON PLU=ON 1894.286/RID

FILE 'CAPLUS' ENTERED AT 15:47:26 ON 14 MAR 2007

L13 15 SEA ABB=ON PLU=ON L12

FILE 'REGISTRY' ENTERED AT 15:49:21 ON 14 MAR 2007

L*** DEL 0 S N4C-NCNC3-C6/ESS

FILE 'CAPLUS' ENTERED AT 15:50:17 ON 14 MAR 2007

 E ANGIBAUD/AU
L14 43 SEA ABB=ON PLU=ON ANGIBAUD P?/AU
L15 1 SEA ABB=ON PLU=ON L13 AND L14
L16 7835 SEA ABB=ON PLU=ON ?FARNESYL?/BI
L17 23 SEA ABB=ON PLU=ON L14 AND L16
L18 15726 SEA ABB=ON PLU=ON ?QUINAZOLIN?/BI
L19 11 SEA ABB=ON PLU=ON L14 AND L18
L20 69395 SEA ABB=ON PLU=ON ?TRIAZOL?/BI
L21 12 SEA ABB=ON PLU=ON L14 AND L20
L22 69400 SEA ABB=ON PLU=ON L19 OR L20
L23 17 SEA ABB=ON PLU=ON L19 OR L21
L24 15 SEA ABB=ON PLU=ON L23 AND L16

L25 17. SEA ABB=ON PLU=ON (L23 OR L24)
L26 1 SEA ABB=ON PLU=ON L25 AND L7

FILE 'REGISTRY' ENTERED AT 15:55:16 ON 14 MAR 2007

FILE 'CAPLUS' ENTERED AT 15:55:19 ON 14 MAR 2007

D STAT QUE L25

D IBIB ABS HITIND L25 1-17

FILE 'REGISTRY' ENTERED AT 15:58:10 ON 14 MAR 2007

FILE 'CAPLUS' ENTERED AT 15:58:33 ON 14 MAR 2007

D STAT QUE L7

FILE 'BEILSTEIN' ENTERED AT 15:58:44 ON 14 MAR 2007

D STAT QUE L9

FILE 'MARPAT' ENTERED AT 15:58:53 ON 14 MAR 2007

D STAT QUE L11

FILE 'CAPLUS, MARPAT' ENTERED AT 15:59:32 ON 14 MAR 2007

L27 1 DUP REM L7 L9 L11 (1 DUPLICATE REMOVED)

ANSWER '1' FROM FILE CAPLUS

D IBIB ABS HITSTR L27 1

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 MAR 2007 HIGHEST RN 926304-31-6

DICTIONARY FILE UPDATES: 13 MAR 2007 HIGHEST RN 926304-31-6

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FILE STNGUIDE

FILE CONTAINS CURRENT INFORMATION.

LAST RELOADED: Mar 9, 2007 (20070309/UP).

FILE CAPLUS

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FILE LAST UPDATED: 13 Mar 2007 (20070313/ED)

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FILE BEILSTEIN
FILE LAST UPDATED ON JANUARY 10, 2007

FILE COVERS 1771 TO 2006.
FILE CONTAINS 9,780,003 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For more detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

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FILE MARPAT
FILE CONTENT: 1961-PRESENT VOL 146 ISS 11 (20070309/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2007020715 25 JAN 2007
DE 102005032918 18 JAN 2007
EP 1743897 17 JAN 2007
JP 2007016265 25 JAN 2007
WO 2007012422 01 FEB 2007

GB	2427406	27	DEC	2006
FR	2888248	12	JAN	2007
RU	2291880	20	JAN	2007
CA	2551930	08	JAN	2007

Expanded G-group definition display now available.

=>